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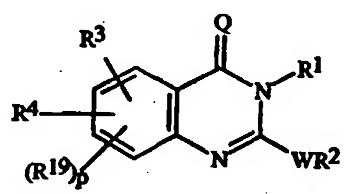
(54) Title: FUNGICIDAL QUINAZOLINONES

(57) Abstract

A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Formula (I), *N*-oxides, agriculturally suitable salts thereof, and agricultural compositions containing them, wherein Q is independently defined as O or S; and W, R^1–R^4, R^{19}, and p are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (I).



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TITLE

FUNGICIDAL QUINAZOLINONES

BACKGROUND OF THE INVENTION

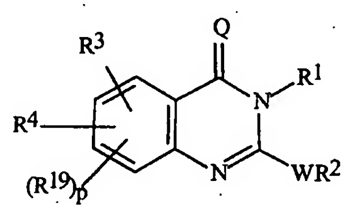
This invention relates to certain fungicidal quinazolinones, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as fungicides.

The control of plant diseases caused by fungal plant pathogens is extremely important in achieving high crop efficiency. Plant disease damage to ornamental, vegetable, field, cereal, and fruit crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. Many products are commercially available for these purposes, but the need continues for new compounds which are more effective, less costly, less toxic, environmentally safer or have different modes of action.

Khim. Prir. Soedin., (1982), *18*, p 112 describes the synthesis and alkylation of 2-mercapto-4-quinazolinones and their fungicidal activity. U.S. 3,755,582, U.S. 3,867,384, WO 94/26722 and U. S. Patent Application Number 08/333,179 disclose certain 4(3H)-quinazolinone fungicides.

SUMMARY OF THE INVENTION

This invention is directed to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Formula I including all geometric and stereoisomers, *N*-oxides, agriculturally suitable salts thereof, and agricultural compositions containing them:



I

wherein

R^3 is Cl, Br, I, C_1-C_8 alkyl, C_3-C_8 cycloalkyl, C_2-C_8 alkenyl, C_2-C_8 alkynyl, C_1-C_8 haloalkyl, C_3-C_8 haloalkenyl, C_3-C_8 haloalkynyl, C_1-C_8 alkoxy, C_1-C_8 haloalkoxy, C_3-C_8 alkenyloxy, C_3-C_8 alkynyloxy, C_1-C_8 alkylthio, C_1-C_8 alkylsulfonyl, C_2-C_8 alkoxyalkyl, C_3-C_8 trialkylsilyl, NR^6R^7, C_5-C_8 trialkylsilylalkynyl, R^{14} or phenyl optionally substituted with at least one R^{13};

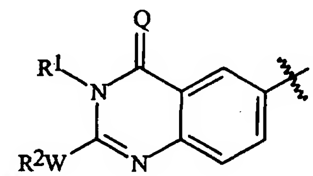
R^4 is hydrogen, Cl, Br, I, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy or C_1-C_4 haloalkoxy; or

when R^3 and R^4 are on adjacent atoms they can be taken together as $-OC(R^{16})_2O-$;

R^{14} is $B(OH)_2$; OH; SH; cyano; CF_3SO_3; C_1-C_4 haloalkylthio; C_1-C_4 haloalkylsulfinyl; C_1-C_4 haloalkylsulfonyl; thiocyanato; C_3-C_8 trialkylsilyloxy,

$R^{15}OCHR^{16}O$; $(R^{15}O)_2CHO$; $R^{15}SS$; $R^{15}SCH(R^{16})S$; SF_5; $R^{17}C(=Y)$; $R^{18}C(=Y)X$; $R^{17}XC(=Y)$; $(R^{17})XC(=Y)X$; $O(Y=)P(OR^{18})_2$; isothiocyanato; pyridinyl, furanyl, thienyl, benzofuranyl, benzo[*b*]thiophenyl, aryloxy, arylthio or quinolinyl each optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; C_2-alkenyl or C_2-alkynyl each substituted with CN, CO_2R^6 or phenyl optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10};

each R^{15} is



;

each W is independently defined as -O-, $-S(O)_n-$, $-NR^5-$, $-CH_2O-$, $-CH_2S(O)_n-$, $-CH_2NR^5-$, -C(=O)-, -C(=Y)O-, -OC(=Y)-, -OC(=Y)O-, -NHC(=Y)NH-, -NHC(=Y)O-, -OC(=Y)NH-, -C(=Y)NH-, -NHC(=Y)- or a direct bond; the directionality of the W linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the quinazolinone heterocycle and the moiety on the right side is bonded to R^2;

each n is independently 0, 1 or 2;

each Q is independently defined as O or S;

each R^1 is independently defined as C_1-C_{10} alkyl; C_3-C_6 cycloalkyl; C_3-C_{10} alkenyl; C_3-C_{10} alkynyl; C_1-C_{10} haloalkyl; C_3-C_{10} haloalkenyl; C_3-C_{10} haloalkynyl; C_2-C_{10} alkoxyalkyl; C_2-C_{10} alkylthioalkyl; C_2-C_{10} alkylsulfonylalkyl; C_4-C_{10} cycloalkylalkyl; C_4-C_{10} alkenyloxyalkyl; C_4-C_{10} alkynyloxyalkyl; C_4-C_{10} alkenylthioalkyl; C_4-C_{10} alkynylthioalkyl; C_2-C_{10} haloalkoxyalkyl; C_4-C_{10} alkoxyalkenyl; C_4-C_{10} alkylthioalkenyl; C_4-C_{10} trialkylsilylalkyl; C_1-C_{10} alkoxy; R^{11}; $R^{17}C(=S)$; $R^{18}C(=S)X$; $R^{17}XC(=Y)$; $(R^{17})XC(=Y)X$; pyridinyl, furanyl, thienyl, benzofuranyl, benzo[*b*]thiophenyl or quinolinyl each optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; or C_1-C_{10} alkyl substituted with NR^6R^7, nitro, cyano, OH, SH, $OC(=O)R^{20}$, $C(=O)SR^6$ or phenyl optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10};

each X is independently O, NR^{17} or S;

each Y is independently O or S;

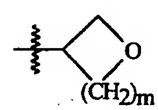
each R^2 is independently defined as C_1-C_{10} alkyl; C_3-C_7 cycloalkyl; C_3-C_{10} alkenyl; C_3-C_{10} alkynyl; C_1-C_{10} haloalkyl; C_3-C_{10} haloalkenyl; C_3-C_{10} haloalkynyl; C_2-C_{10} alkoxyalkyl; C_2-C_{10} alkylthioalkyl; C_2-C_{10} alkylsulfonylalkyl; C_4-C_{10}

cycloalkylalkyl; C_4-C_{10} alkenyloxyalkyl; C_4-C_{10} alkynyloxyalkyl; C_4-C_{10} alkenylthioalkyl; C_4-C_{10} alkynylthioalkyl; C_2-C_{10} haloalkoxyalkyl; C_4-C_{10} alkoxyalkenyl; C_4-C_{10} alkylthioalkenyl; C_4-C_{10} trialkylsilylalkyl; R^{11}; phenyl optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; or C_1-C_{10} alkyl substituted with one or more substituents selected from the group NR^6R^7, cyano, nitro, OH, SH, $OC(=O)R^{20}$, CO_2R^6, $O(Y=)P(OR^{18})_2$, $(O=)P(OR^{18})_2$ and phenyl optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; or

when a W is -NR^5-, then the R^2 attached to said W can additionally be selected from -OR^7; -$N=CR^6R^6$; -NR^6R^7; and pyridinyl, furanyl and thienyl each optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; or

when a W is -O-, then the R^2 attached to said W can additionally be selected from -$N=CR^6R^6$ and -NR^6R^7; or

when a W is -O-, -$S(O)_n$-, -NR^5- or -CH_2O-, then the R^2 attached to said W can additionally be



; or

when a W is a direct bond and R^1 is other than CF_3; then the R^2 attached to said W can additionally be selected from OH and halogen; or

when a W is a direct bond, then the R^2 attached to said W can additionally be selected from $O(Y=)P(OR^{18})_2$, $S(Y=)P(OR^{18})_2$, O-$S(O)R^{18}$, O-$S(O)_2R^{18}$, O-$S(O)_2OR^{18}$ and thiocyanato;

each R^5 is independently defined as hydrogen, C_1-C_4 alkyl or $C(=O)R^{12}$;

each R^6 is independently hydrogen; C_1-C_4 alkyl; or phenyl optionally substituted with at least one R^{13};

each R^7 is independently hydrogen; C_1-C_8 alkyl; or phenyl optionally substituted with at least one R^{13}; or

each pair of R^6 and R^7, when attached to the same nitrogen atom, can independently be taken together as -$CH_2CH_2CH_2CH_2$-, -$CH_2(CH_2)_3CH_2$-, -$CH_2CH_2OCH_2CH_2$-, -$CH_2CH(CH_3)CH_2CH(CH_3)CH_2$- or -$CH_2CH(CH_3)OCH(CH_3)CH_2$-;

each R^8 is independently C_1-C_6 alkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkyl; halogen; C_2-C_8 alkynyl; C_1-C_6 alkylthio; phenyl or phenoxy each optionally substituted with at least one R^{13}; cyano; nitro; C_1-C_6 haloalkoxy; C_1-C_6 haloalkylthio; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; acetyl; $C(=O)SMe$; or $N(C_1$-C_2 alkyl$)_2$;

each R^9 is independently methyl, ethyl, methoxy, methylthio, halogen, $C(=O)S(C_1$-C_3 alkyl), $C(O)NR^6R^7$ or trifluoromethyl;

each R^{10} is independently halogen;

each R^{11} is independently C_1-C_{10} alkyl substituted with an 8-, 9- or 10-membered fused carbobicyclic or fused heterobicyclic ring; or R^{11} is C_1-C_{10} alkyl substituted with a 3-, 4-, 5- or 6-membered heteromonocyclic ring; wherein said heterobicyclic or heteromonocyclic rings contain 1 to 4 heteroatoms independently selected from the group nitrogen, oxygen and sulfur, provided that each heterobicyclic or heteromonocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs, wherein said heterobicyclic or heteromonocyclic ring is bonded to the alkyl group through a carbon atom of the ring, and wherein said carbobicyclic, heterobicyclic or heteromonocyclic ring is optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10};

each R^{12} is independently defined as hydrogen, C_1-C_4 alkyl, C_1-C_4 alkoxy or NR^6R^7;

each R^{13} is independently halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkyl, nitro or cyano;

each R^{16} is independently hydrogen, halogen, C_1-C_4 alkyl or C_1-C_6 haloalkyl;

each R^{17} is independently hydrogen, C_1-C_4 alkyl or C_1-C_6 haloalkyl;

each R^{18} is C_1-C_6 alkyl, C_1-C_6 haloalkyl or phenyl optionally substituted with R^{13};

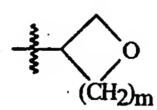
R^{19} is Cl, Br or I;

each R^{20} is independently C_1-C_4 alkyl or C_1-C_4 haloalkyl;

m is 1, 2 or 3; and

p is 0, 1 or 2;

provided that

when W is -O-, $-S(O)_n-$ or $-NR^5-$; R^2 is other than 

and C_1-C_{10} alkyl substituted with one or more substituents selected from the group cyano, nitro, OH, SH, $OC(=O)R^{20}$, $O(Y=)P(OR^{18})_2$ or $(O=)P(OR^{18})_2$; and R^1 is other than $R^{17}C(=S)$, $R^{18}C(=S)X$, $R^{17}XC(=Y)$, $(R^{17})XC(=Y)X$, and C_1-C_{10} alkyl substituted with OH, SH, $OC(=O)R^{20}$ or $C(=O)SR^6$; then R^3 is R^{14};

when R^1 is $R^{17}OC(=O)O$, $R^{17}OC(=O)S$ or $R^{17}OC(=O)NH$; then W is other than $-CH_2O-$, $-CH_2S(O)_n-$, $-CH_2NR^5-$ and a direct bond; and

when WR^2 is $NHCF_3$, then R^1 is other than C_1-C_6 alkyl and C_3-C_6 cycloalkyl.

DETAILS OF THE INVENTION

In the above recitations, the term "alkyl", used in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "alkyl", used alone includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl, hexyl, heptyl, octyl, nonyl and decyl isomers. "Alkenyl" includes straight-chain or branched alkenes such as vinyl, 1-propenyl, 2-propenyl and the different butenyl, pentenyl, hexenyl, heptenyl, octenyl, nonenyl and decenyl isomers. "Alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl, hexynyl, heptynyl, octynyl, nonynyl and decynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl.

"Alkoxy" includes, for example, methoxy, ethoxy, propyloxy, 1-methylethoxy and the different butoxy, pentyloxy, hexyloxy, heptyloxy, octyloxy, nonyloxy, and decyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2, $CH_3OCH_2CH_2$, $CH_3CH_2OCH_2$, $CH_3CH_2CH_2CH_2OCH_2$ and $CH_3CH_2OCH_2CH_2$. "Alkenyloxy" includes straight-chain or branched alkenyloxy moieties. Examples of "alkenyloxy" include $H_2C{=}CHO$, $H_2C{=}CHCH_2O$, $(CH_3)_2C{=}CHCH_2O$, $(CH_3)CH{=}CHCH_2O$, $(CH_3)CH{=}C(CH_3)CH_2O$ and $CH_2{=}CHCH_2CH_2O$. "Alkynyloxy" includes straight-chain or branched alkynyloxy moieties. Examples of "alkynyloxy" include $HC{\equiv}CCH_2O$, $CH_3C{\equiv}CCH_2O$ and $CH_3C{\equiv}CCH_2CH_2O$. "Alkoxyalkenyl" denotes alkoxy substitution of alkenyl. "Alkoxyalkenyl" includes straight-chain or branched alkoxyalkenyl moieties. Examples of "alkoxyalkenyl" include $(CH_3)_2CHOCH_2CH{=}CH$ and $CH_3OCH_2CH{=}CH$.

"Alkenyloxyalkyl" denotes alkenyl substitution on oxygen which in turn is substituted on alkyl. Examples "alkenyloxyalkyl" include $CH_2{=}CHCH_2OCH_2$ and $CH_3CH{=}CHCH_2OCH_2CH_2$. "Alkynyloxyalkyl" denotes alkynyl substitution on oxygen which in turn is substituted on alkyl. Examples of "alkynyloxyalkyl" include $CH{\equiv}CCH_2OCH_2$ and $CH_3C{\equiv}CCH_2OCH_2CH_2$.

"Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio, hexylthio, heptylthio and octylthio isomers. "Alkylthioalkyl" denotes alkylthio substitution on alkyl. Examples of "alkylthioalkyl" include CH_3SCH_2, $CH_3SCH_2CH_2$, $CH_3CH_2SCH_2$, $CH_3CH_2CH_2CH_2SCH_2$ and $CH_3CH_2SCH_2CH_2$. "Alkenylthioalkyl" denotes alkenyl substitution on sulfur which in turn is substituted on alkyl. Examples of "alkenylthioalkyl" include $CH_2{=}CHCH_2SCH_2$ and $CH_3CH{=}CHCH_2SCH_2CH_2$. "Alkylthioalkenyl" denotes alkylthio substitution on alkenyl. Examples of "alkylthioalkenyl" include $CH_3SCH{=}CH$ and $CH_3CH_2SCH{=}CH$. "Alkynylthioalkyl" denotes alkynyl substitution on sulfur which in turn is substituted on

alkyl. Examples of "alkynylthioalkyl" include $CH{\equiv}CCH_2SCH_2$ and $CH_3C{\equiv}CCH_2SCH_2CH_2$. Examples of "alkylsulfonyl" include $CH_3S(O)_2$, $CH_3CH_2S(O)_2$, $CH_3CH_2CH_2S(O)_2$, $(CH_3)_2CHS(O)_2$ and the different butylsulfonyl, pentylsulfonyl, hexylsulfonyl, heptasulfonyl and octasulfonyl isomers. "Alkylsulfonylalkyl" denotes alkylsulfonyl substitution on alkyl. Examples of "alkylsulfonylalkyl" include $CH_3S(O)_2CH_2$, $CH_3CH_2CH_2S(O)_2CH_2$ and $(CH_3)_2CHS(O)_2CH_2CH_2$. "Alkenylthio" is defined analogously to the above examples.

"Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclohepyl and cyclooctyl. "Cycloalkylalkyl" denotes cycloalkyl substituted on alkyl. Examples of "cycloalkylalkyl" include cyclopropylmethyl, cyclopentylethyl, and other cycloalkyl moieties bonded to straight-chain or branched alkyl groups.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C, $ClCH_2$, CF_3CH_2 and CF_3CCl_2. The terms "haloalkenyl", "haloalkynyl", "haloalkoxy" and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C{=}CHCH_2$ and $CF_3CH_2CH{=}CHCH_2$. Examples of "haloalkynyl" include $HC{\equiv}CCHCl$, $CF_3C{\equiv}C$, $CCl_3C{\equiv}C$ and $FCH_2C{\equiv}CCH_2$. Examples of "haloalkoxy" include CF_3O, CCl_3CH_2O, $HCF_2CH_2CH_2O$ and CF_3CH_2O. Examples of "haloalkylthio" include CCl_3S, CF_3S, CCl_3CH_2S and $ClCH_2CH_2CH_2S$. Examples of "haloalkylsulfinyl" include $CF_3S(O)$, $CCl_3S(O)$, $CF_3CH_2S(O)$ and $CF_3CF_2S(O)$. Examples of "haloalkylsulfonyl" include $CF_3S(O)_2$, $CCl_3S(O)_2$, $CF_3CH_2S(O)_2$ and $CF_3CF_2S(O)_2$.

"Trialkylsilylalkyl" denotes trialkylsilyl substitution on alkyl. Examples of "trialkylsilylalkyl" include $(CH_3)_3SiCH_2$, and $(CH_3)_3SiCH_2CH_3$. "Trialkylsilylalkynyl" denotes trialkylsilyl substitution on alkynyl. Examples of "trialkylsilylalkynyl" include $(CH_3)_3SiC{\equiv}C$ and $(CH_3CH_2)SiCH_2C{\equiv}C$.

The total number of carbon atoms in a substituent group is indicated by the "C_i-C_j" prefix where i and j are numbers from 1 to 10. For example, C_1-C_3 alkylsulfonyl designates methylsulfonyl through propylsulfonyl.

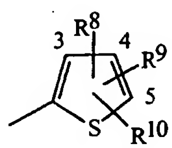
When a group contains a substituent which can be hydrogen, for example R^4 or R^7, then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

In the above recitations, when a compound of Formula I is comprised of one or more heterocyclic rings, all substituents are attached to these rings through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

Exemplary values of a 8-, 9- or 10-membered fused carbobicyclic or fused heterobicyclic ring, and a 3-, 4-, 5- or 6-membered heteromonocyclic ring wherein said

heterobicyclic or heteromonocyclic rings contain 1 to 4 heteroatoms independently selected from the group nitrogen, oxygen and sulfur, provided that each heterobicyclic or heteromonocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs, include the ring systems illustrated in Exhibit 1. As with the carbon atoms in the ring, the nitrogen atoms which require substitution to fill their valence are substituted with hydrogen or with R^8, R^9 or R^{10}. In the bicyclic ring systems (e.g., Y-66 – Y-90), the R^8, R^9 and R^{10} groups may substitute either ring. Although the R^8, R^9 and/or R^{10} groups are shown in the structures Y-1 to Y-100, it is noted that they do not need to be present since they are optional substituents.

Exhibit 1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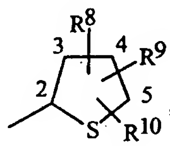
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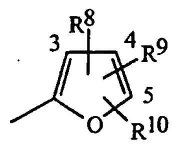
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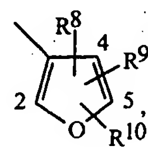
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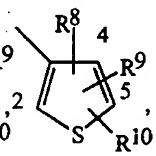
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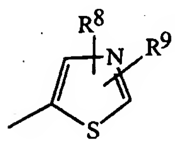
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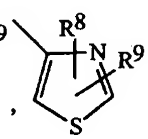
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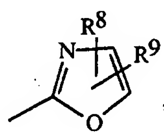
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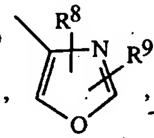
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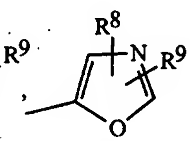
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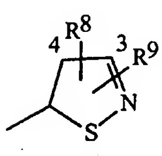
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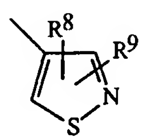
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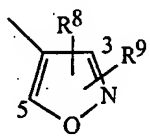
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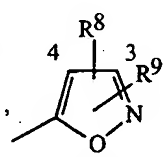
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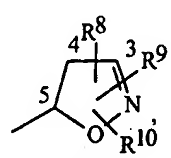
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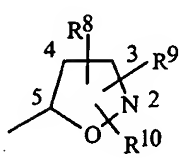
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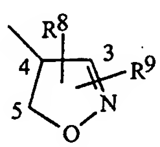
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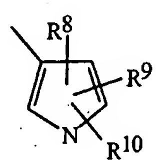
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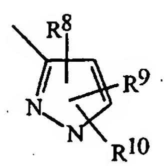
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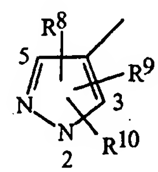
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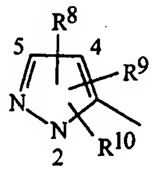

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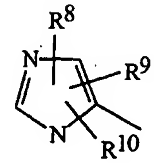

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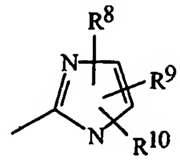

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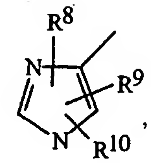

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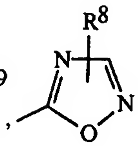

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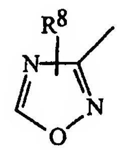

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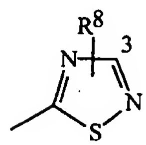

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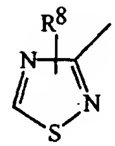

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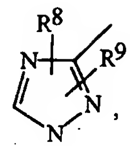

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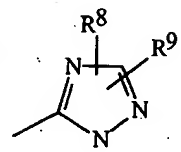

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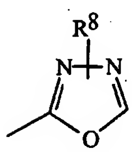

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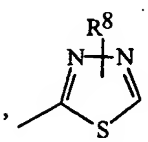

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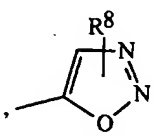

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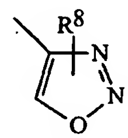

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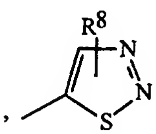

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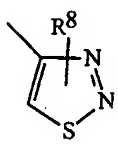

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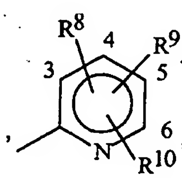

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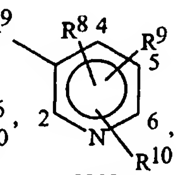

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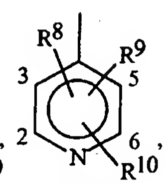

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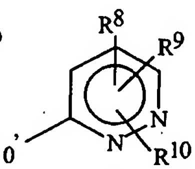

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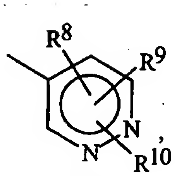

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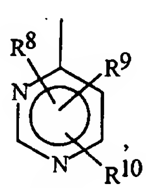

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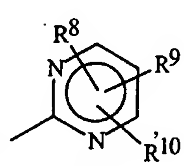

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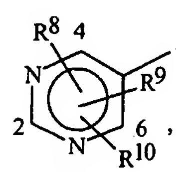

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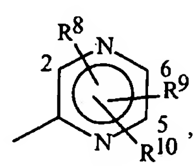

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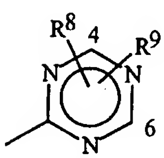

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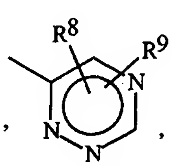

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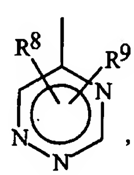

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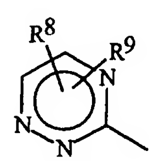

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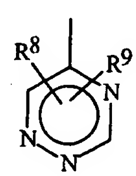

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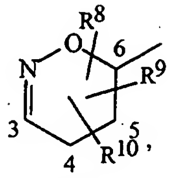
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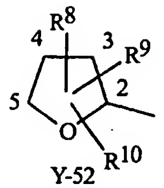
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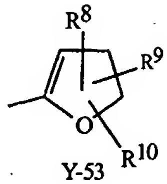
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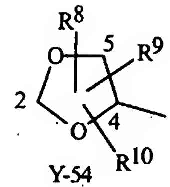
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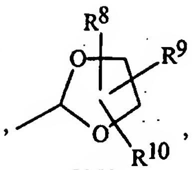
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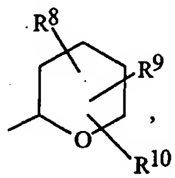
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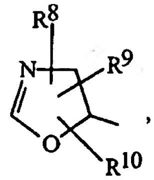
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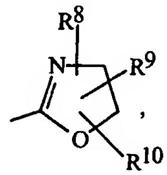
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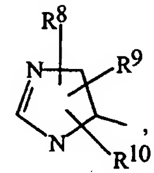
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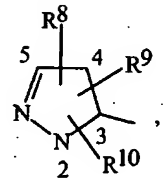
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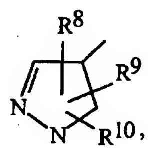
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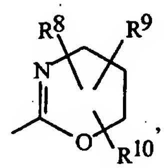
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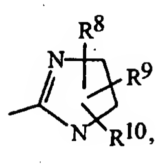
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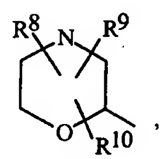
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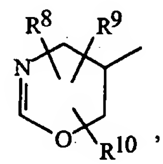
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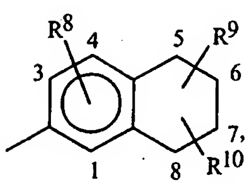
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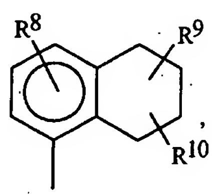
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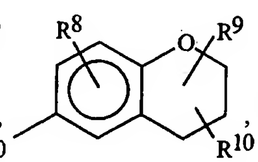
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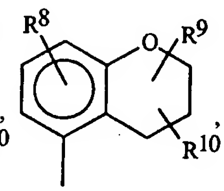
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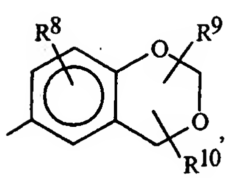
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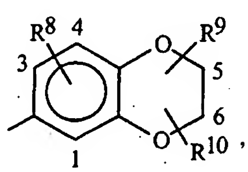
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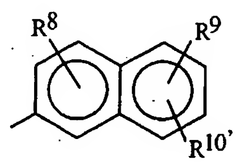
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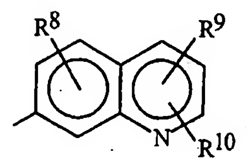
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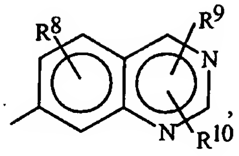

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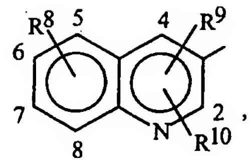

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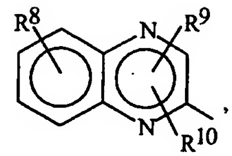

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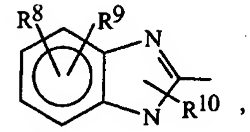

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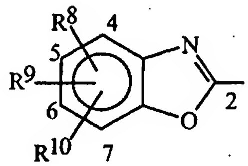

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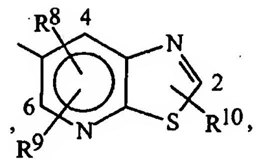

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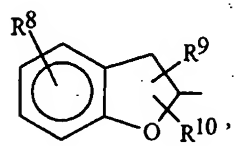

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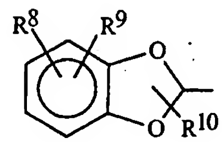

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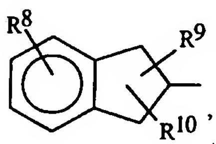

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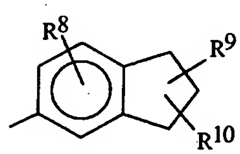

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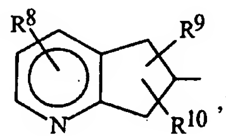

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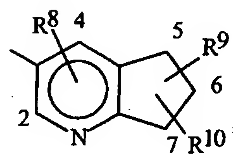

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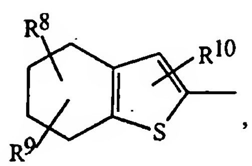

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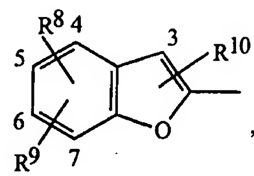

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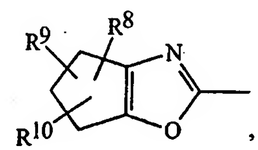

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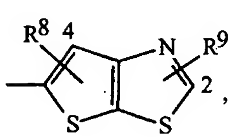

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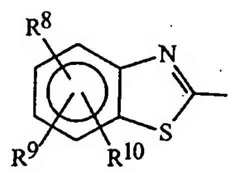

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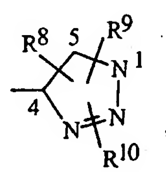

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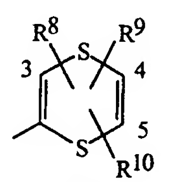

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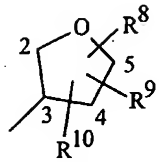

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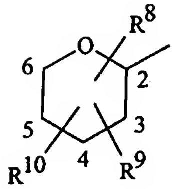

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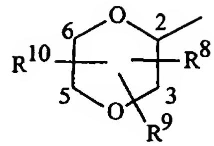

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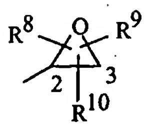

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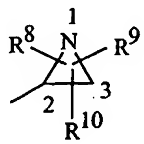

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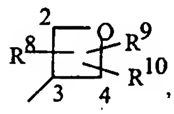

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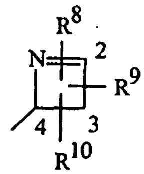
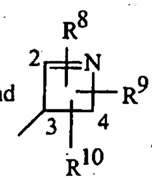


Y-99

and



Y-100

One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that the *N*-oxides of compounds of Formula I can be made by oxidizing the corresponding nitrogen compound with a strong oxidizing agent such as *meta*-chloroperoxybenzoic acid.

Compounds of Formula I can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

The salts of the compounds of Formula I useful for this invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts useful for this invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic group. Accordingly, the present invention comprises the fungicidal use of compounds selected from Formula I, including all geometric and stereoisomers, *N*-oxides and agriculturally suitable salts thereof.

Preferred compounds for use in the method and compositions of this invention for reasons of better activity and/or ease of synthesis are:

Preferred 1. Compounds of Formula I above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

each W is -O-, -S- or -NR^5-;

each R^1 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11};

each R^2 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11}; and

R^3 is R^{14}.

Preferred 2. Compounds of Formula I above, *N*-oxides and agriculturally suitable salts thereof, wherein:

each W is -CH_2O-, -$CH_2S(O)_n$- or -CH_2NR^5-;

each R^1 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11}; and

each R^2 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11}.

Preferred 2a. Compounds of Preferred 2 above wherein:

R^3 is halogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl or R^{14}; and

R^{14} is OH, SH, cyano, CF_3SO_3, C_1-C_4 haloalkylthio, C_1-C_4 haloalkylsulfinyl or C_1-C_4 haloalkylsulfonyl.

Preferred 3. Compounds of Formula I above, *N*-oxides and agriculturally suitable salts thereof, wherein:

each W is a direct bond;

each R^1 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11}; and

each R^2 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl, C_2-C_{10} alkylsulfonylalkyl, C_1-C_{10} alkyl substituted with NR^6R^7, cyano, nitro, OH, $OC(=O)R^{20}$, CO_2R^6, R^{11} or phenyl optionally substituted with R^8, R^9 or R^{10}.

Preferred 3a. Compounds of Preferred 3 above wherein:

R^3 is halogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl or R^{14}; and

R^{14} is OH, SH, cyano, CF_3SO_3, C_1-C_4 haloalkylthio, C_1-C_4 haloalkylsulfinyl or C_1-C_4 haloalkylsulfonyl.

Preferred 4. Compounds of Formula I above, N-oxides and agriculturally suitable salts thereof, wherein:

W is a direct bond;

R^1 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11};

R^2 is OH or halogen;

R^3 is halogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl or R^{14}; and

R^{14} is OH, SH, cyano, CF_3SO_3, C_1-C_4 haloalkylthio, C_1-C_4 haloalkylsulfinyl or C_1-C_4 haloalkylsulfonyl.

Preferred 5. Compounds of Formula I above, N-oxides and agriculturally suitable salts thereof, wherein:

R^1 and/or R^2 is substituted C_1-C_{10} alkyl (preferably C_1-C_4 alkyl substituted with OH);

R^3 is halogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl or R^{14};

R^4 is hydrogen, Cl, Br or I; and

R^{14} is OH, SH, cyano, CF_3SO_3, C_1-C_4 haloalkylthio, C_1-C_4 haloalkylsulfinyl or C_1-C_4 haloalkylsulfonyl.

Most preferred are compounds selected from the group

2-chloro-6-iodo-3-*n*-propyl-4(3*H*)-quinazolinone;

3-(cyclopropylmethyl)-2-(ethoxymethyl)-6-iodo-4(3*H*)-quinazolinone; and

6-iodo-2-(3-oxetanyloxy)-3-propyl-4(3*H*)-quinazolinone.

Of note are compounds of Formula I where W is a direct bond and R^2 is $O(Y{=})P(OR^{18})_2$, $S(Y{=})P(OR^{18})_2$, O-S(O)R^{18}, O-S(O)$_2R^{18}$, O-S(O)$_2OR^{18}$ or thiocyanato and compounds of Formula I where R^2 is C_1-C_{10} alkyl substituted with $O(Y{=})P(OR^{18})_2$ or $(O{=})P(OR^{18})_2$. Also of note are compounds of Formula I where R^{14} is $O(Y{=})P(OR^{18})_2$; isothiocyanato; aryloxy or arylthio each optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; or C_2-alkenyl or C_2-alkynyl each substituted with CN, CO_2R^6 or phenyl optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}. Further of note are compounds of Formula I where W is a direct bond, R^1 is other than $R^{17}NHC(=O)NH$, CF_3 and C_1-C_{10} alkyl substituted with CO_2H and either R^3 or R^4 is other than hydrogen where the R^2 attached to said W is OH or halogen.

Of note are compounds of Formula I where R^{14} is OH; SH; cyano; CF_3SO_3, C_1-C_4 haloalkylthio; C_1-C_4 haloalkylsulfinyl; C_1-C_4 haloalkylsulfonyl; thiocyanato; C_3-C_8 trialkylsilyloxy, $R^{15}OCHR^{16}O$; $(R^{15}O)_2CHO$; $R^{15}SS$; $R^{15}SCH(R^{16})S$; SF_5; $R^{17}C(=Y)$; $R^{18}C(=Y)X$; $R^{17}XC(=Y)$; $(R^{17})XC(=Y)X$; or pyridinyl, furanyl, thienyl, benzofuranyl, benzo[*b*]thiophenyl or quinolinyl each optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; where when W is a direct bond, the R^2 attached thereto is other than OH and halogen; where R^2 is other than C_1-C_{10} alkyl substituted with $O(Y{=})P(OR^{18})_2$ or $(O{=})P(OR^{18})_2$; and/or compounds where W is a direct bond, the R^2 attached thereto is other than $O(Y{=})P(OR^{18})_2$, $S(Y{=})P(OR^{18})_2$, O-S(O)R^{18}, O-S(O)$_2R^{18}$, O-S(O)$_2OR^{18}$ and thiocyanato.

The compounds of Formula I can be prepared by one or more of the following methods and variations as described in Schemes 1-30. The definitions of W, Q, X, Y, R^1-R^{20}, m, n and p in the compounds of Formulae 1-16 below are as defined above in the Summary of the Invention. Compounds of Formulae Ia-Iae are various subsets of the compounds of Formula I, and all substituents for Formulae Ia-Iae are as defined above for Formula I.

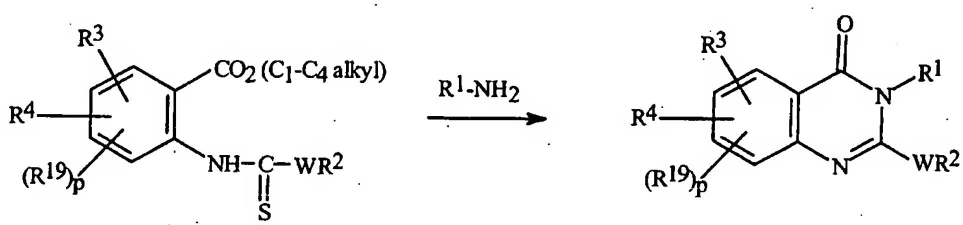
The synthesis of compounds of Formula I is described below. First, the synthesis of the quinazolinone ring system is described. In this first section, the groups R^1, WR^2, R^3, R^4

and/or $(R^{19})_p$ are incorporated into the substrates which are used in the syntheses described therein. Alternatively, the quinazolinone ring system can be prepared using a precursor to these groups, and then the R^1, WR^2, R^3, R^4 and/or $(R^{19})_p$ groups can be introduced afterwards. This alternate strategy is outlined in the second section of this synthetic summary.

Synthesis of the Quinazolinone Ring System

Compounds of Formula Ia, wherein, Q = O and W = O, S or NR^5, are preparable by reacting compounds of Formula 1 with the appropriate amines R^1-NH_2 (Scheme 1).

Scheme 1



1

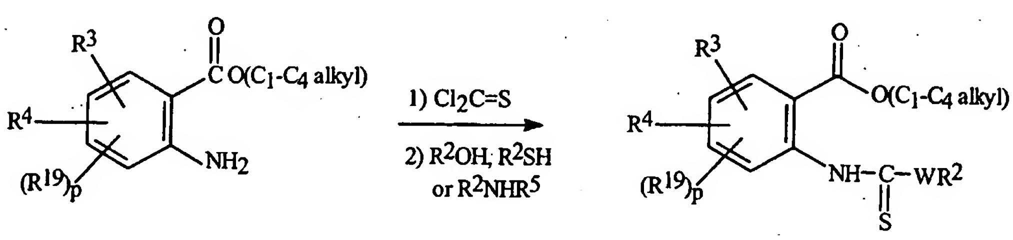
Ia

W = O, S, NR^5

The reaction may be run by treating the compounds 1 with excess amine in hydrocarbon, ethereal, alcoholic or polar aprotic solvents at temperatures ranging from ambient to 150 °C for 0.1 to 72 hours. Workup usually involves removal of reaction solvent *in vacuo* and, if necessary, purification by silica gel chromatography.

Compounds of Formula 1 are accessible through reaction of the esters 2 with thiophosgene and subsequent treatment with the compounds of formula R^2OH, R^2SH or R^2NHR^5 as illustrated in Scheme 2.

Scheme 2



2

1

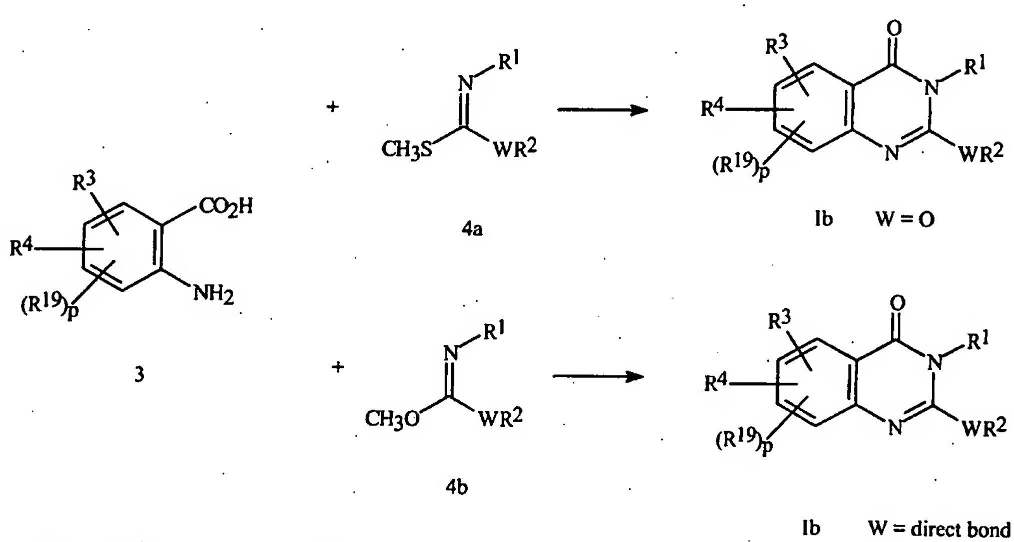
W = O, S or NR^5

Procedures relating to the conversion of compounds 2 to compounds 1 are cited in the art (*Pharmazie*, (1990), *45*, 550; *J. Het. Chem.*, (1982), *19*, 1117). Esters of Formula 2 are treated with thiophosgene at temperatures from about -20 to 100 °C for 1-48 hours in an inert solvent. Often this reaction is performed in a bi-phasic mixture in the presence of an aqueous base (e.g., sodium bicarbonate). The resulting isothiocyanate may be isolated by

extraction into a water-immiscible solvent such as methylene chloride, followed by drying of the organic extracts and evaporation under reduced pressure. Alternatively, the isothiocyanate can be combined *in situ* with compounds of formula R^2OH, R^2SH or R^2NHR^5 and stirred at about -20 to 100 °C for 0.1-24 hours. The desired product of Formula 1 can be isolated from the reaction mixture by extraction and purified by silica gel chromatography or recrystallization.

Compounds of Formula Ib wherein Q is O and W is either O or a direct bond, are preparable through contact of anthranilic acids 3 with compound of Formula 4a or 4b, respectively (Scheme 3).

Scheme 3



The reaction may be carried out in a variety of solvents in the presence of homo/heterogeneous bases at temperatures from ambient to 150 °C for 0.1 to 24 hours. Examples of suitable reaction solvents include hexanes, benzene, dioxane, tetrahydrofuran (THF), lower alkanols, *N,N*-dimethylforamide (DMF) and halocarbon solvents. Suitable bases include potassium carbonate, sodium hydroxide, triethylamine and pyridine. Workup is achieved by removing reaction solvent *in vacuo* and partitioning the crude residue between dilute aqueous acid and a water-immiscible solvent. The water-immiscible phase is then separated, dried over sodium sulfate (Na_2SO_4, anhydrous), concentrated, and purified by crystallization or silica gel chromatography to deliver pure I.

Compounds of Formula 4a and 4b are cited in the art and may be prepared by known means (e.g., *J. Am. Chem. Soc.*, (1983), *105*, 6985, *Org. Prep. Proc. Int.*, (1992), *24*, 147 and *J. Het. Chem.*, (1986), *23*, 53). Likewise, anthranilic acids of Formula 3 are well-known and can be prepared by established methods. For example, see March, J., *Advanced Organic*

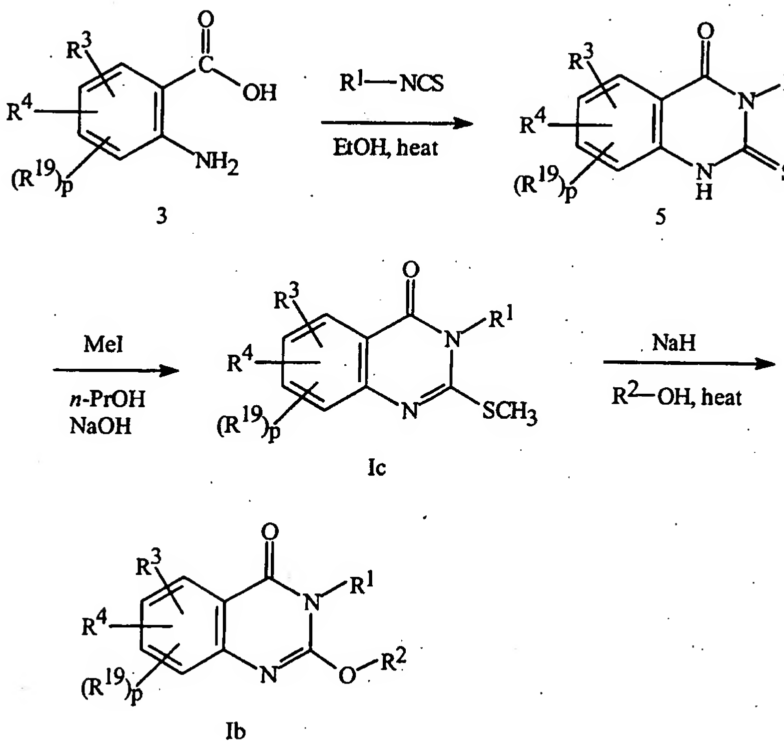
Chemistry, 3rd edition, John Wiley, New York (1985), p 983 and *Pharmazie*, (1973), *28*, p 433.

Compounds of Formula Ib, compounds of Formula I wherein W and Q are each O, can also be made by the method illustrated in Scheme 4.

An anthranilic acid of Formula 3 is condensed with an isothiocyanate of Formula R^1-NCS to form the 2-thioquinazolinone of Formula 5. The condensation is preferably performed in the presence of a base such as triethylamine. *S*-Methylation of this compound affords the 2-(methylthio)-4(3*H*)-quinazolinone of Formula Ic.

For the introduction of the R^2O group, the 2-(methylthio)-4(3*H*)-quinazolinone of Formula Ic is treated with a mixture of a base, for example sodium hydride, in R^2OH solvent. The reaction mixture is stirred at a temperature from about 0 °C to 120 °C for 1 to 120 hours. The desired 2-R^2O quinazolinone can be isolated from the reaction mixture by extraction into a water-immiscible solvent, and purified by chromatography or recrystallization. Synthetic procedures for the preparation of related 4(3*H*)-quinazolinones are described in U.S. 3,755,582 and incorporated herein by reference.

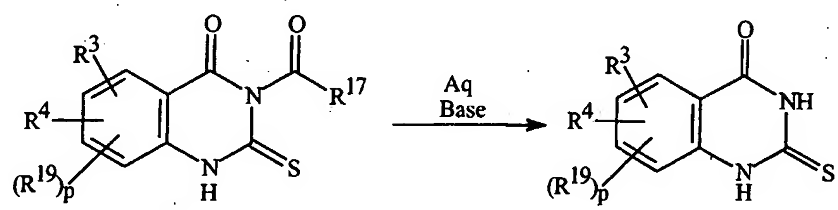
<u>Scheme 4</u>



The isothiocyanates of Formula R^1-NCS can be prepared from the corresponding amine by treatment with thiophosgene as known in the art. For example, see *J. Heterocycl. Chem.*, (1990), *27*, 407.

Compounds of Formula 5a, a subset of 5 where Q = O and R^1 = H, can be prepared by reacting compounds of Formula 5b with aqueous base (Scheme 5).

Scheme 5



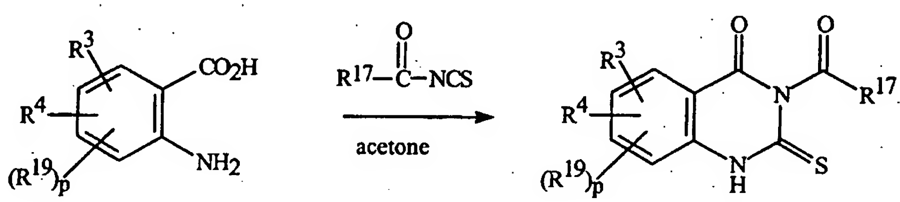
5b

5a

The reaction is run using either aqueous NaOH or KOH at base concentrations ranging from 0.1 - 3 N. The reaction may optionally be conducted in the presence of a co-solvent (e.g., ethanol) at temperatures ranging from ambient to reflux for 0.1 to 24 hours. Workup/purification is achieved by acidifying the crude reaction mixture and isolating the product 5a via suction filtration.

Compounds of Formula 5b are prepared by reacting the anthranilic acids 3 with suitable acyl isothiocyanates in an aprotic solvent such as acetone (Scheme 6).

Scheme 6



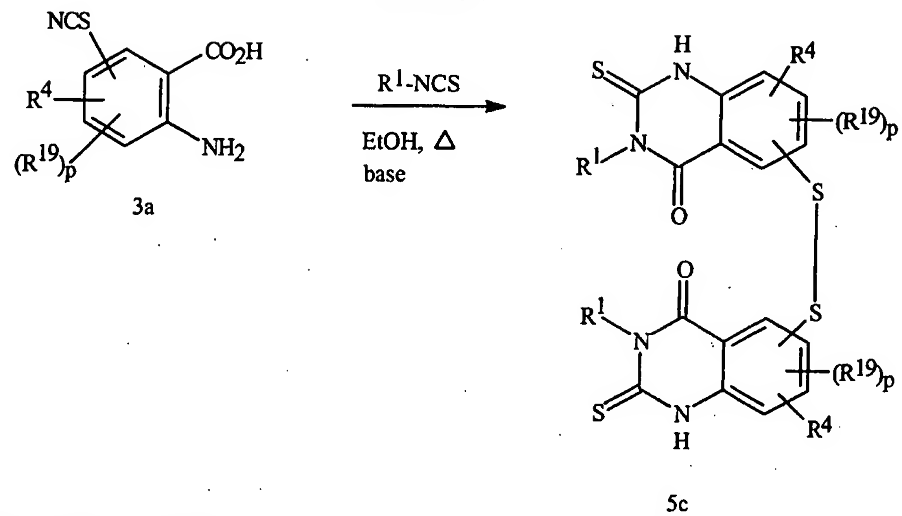
3

5b

The reaction is optionally conducted in the presence of a soluble base such as triethylamine at reflux temperatures for 0.1-24 hours. Upon cooling to ambient temperature, the precipitated product 5b is isolated by suction filtration and utilized without further purification. Analogous procedures are known in the art (*Indian J. Chem.*, (1968), *6*, 621 and *Ann. Chim.* (Rome), (1967), *57*, 595).

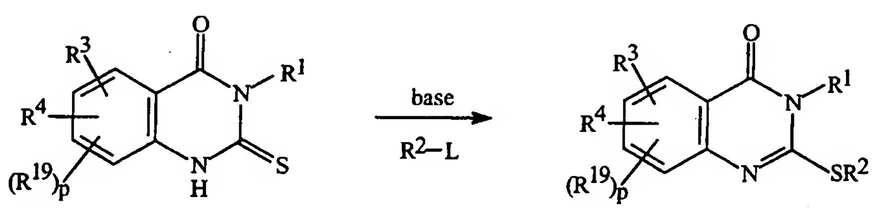
Synthesis of disulfides of Formula 5c (Scheme 7) can be accomplished from the thiocyanato materials 3a by reaction with isothiocyanates under conditions similar to those described in Scheme 4.

Scheme 7



Quinazolinones of Formula Id, compounds of Formula I wherein W is S and Q is O, can be prepared by a modification of the synthesis illustrated in Scheme 4. As illustrated in Scheme 8, the 2-thiopyrimidinedione of Formula 5 is alkylated with R^2-L wherein L is a typical leaving group such as Br, I, CH_3SO_3 (abbreviated OMs), or (4-CH_3-Ph)SO_3 (abbreviated OTs) to afford the 2-R^2S quinazolinone of Formula Id. One or more equivalents of a base can be used to accelerate the process. Bases such as sodium hydroxide and sodium hydride are suitable.

Scheme 8

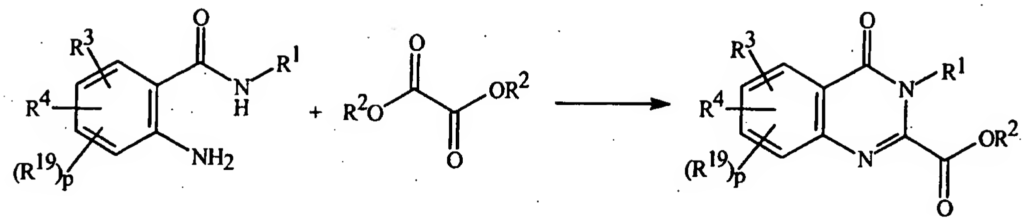


5 L = Br, I, OMs, OTs Id

Typically, the 2-thiopyrimidinedione is dissolved or dispersed in an inert solvent such as *N,N*-dimethylformamide and treated with a base at a temperature from about -20 to 60 °C. The reaction mixture may then be heated to just above ambient temperature to the reflux temperature of the solvent for 0.1 to 24 hours to effect deprotonation. The reaction mixture is cooled and treated with R^2-L and stirred for 0.1-24 hours at a temperature from about 20 °C to the reflux temperature of the solvent. The quinazolinone of Formula Id can be isolated by extraction into a water-immiscible solvent, and purified by chromatography or recrystallization.

Compounds of Formula Ie, where W is C(=O)O, can be prepared by contacting compounds of Formula 7 with oxalates of Structure 6 as shown in Scheme 9.

Scheme 9

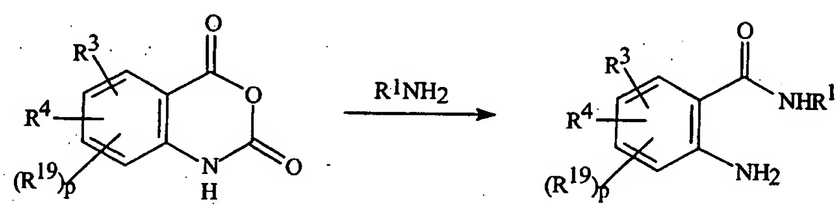


7 6 Ie

The reaction may be conducted either neat or in an inert solvent at temperatures ranging from 100 to 250 °C for 1-24 hours. Upon cooling, the reaction mixture is concentrated *in vacuo* and the crude residue purified by silica gel chromatography to afford Ie. For similar procedures, see *Helv. Chim. Acta,* (1968), *69*, 1017.

The amides 7 are accessed from isatoic anhydrides of Formula 8 *via* treatment with amines of structure R^1NH_2 (Scheme 10). Methods for the preparation of isatoic anhydrides are well-known in the literature, as is their conversion to aminobenzamides (see *Synthesis*, (1980), 505 and *J. Org. Chem.*, (1953), *18*, 1427).

Scheme 10

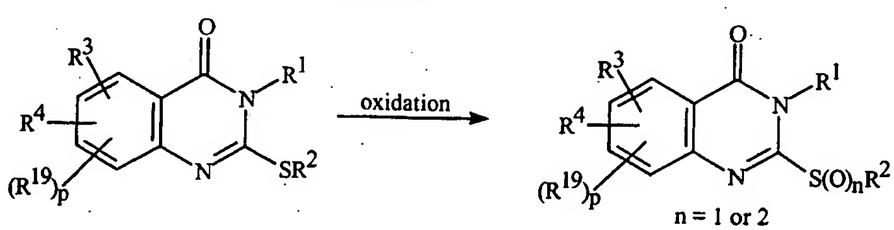


8 7

Oxalates of Formula 6 are also well known and are either available commercially, or can be prepared using methods familiar to the skilled practitioner.

Fused bicyclic quinazolinones of Formula Ig, compounds of Formula I wherein Q is O and W is S(O) or $S(O)_2$, can be prepared by oxidation of the corresponding $-SR^2$ compound of Formula If using well-known procedures for oxidation of sulfur (Scheme 11). For example, see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 1089.

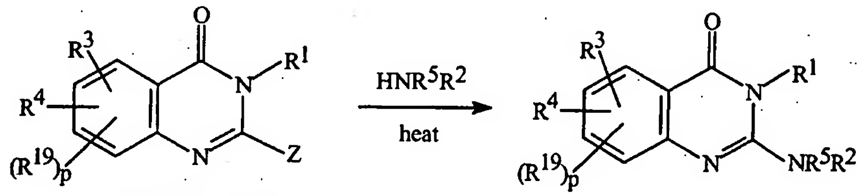
Scheme 11



If Ig

Fused bicyclic quinazolinones of Formula Ih, compounds of Formula I wherein Q is O and W is NR^5, can be prepared by the method illustrated in Scheme 12. This method is described in detail in U.S. 3,867,384 and incorporated herein by reference.

Scheme 12



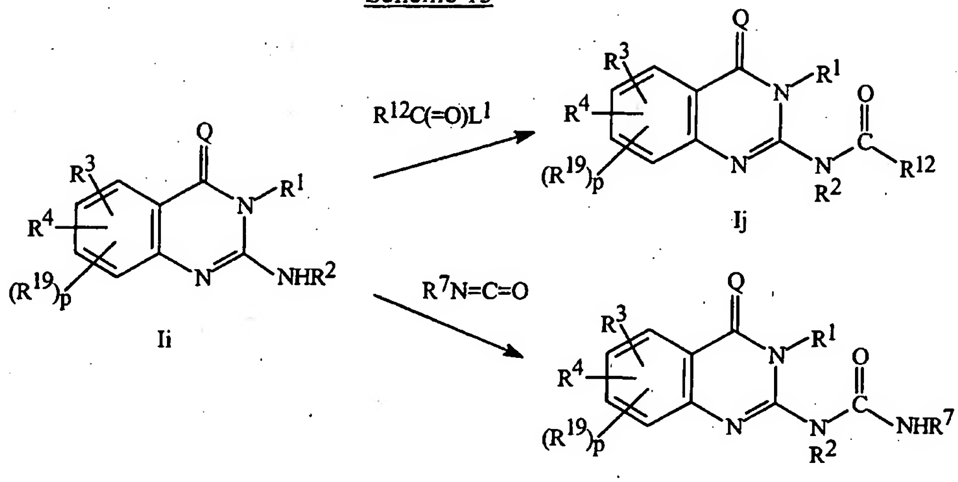
One method of preparation of compounds of Formula Ih is by treatment of a 2-methylthio quinazolinone of Formula 9 (Z = SMe) with an excess of an amine of Formula HNR^5R^2 at about 150 to 175 °C. A second method is to contact a 2-chloro-quinazolinone of Formula 9 (Z = Cl) with one equivalent of HNR^5R^2 and one equivalent of an acid scavenger, for example triethylamine, or with two equivalents of HNR^5R^2, at a temperature between 60 and 120 °C optionally in the presence of a solvent.

The preparation of compounds of quinazolinones wherein Z is SMe is described above and in U.S. 3,755,582. Compounds of Formula 9, wherein Z is halogen, are preparable by established means and are herein cited as fungicides as well. Compounds of Formula 9, wherein Z is Cl, may prepared as described in U.S. 3,867,384 from 2-thioquinazolinones *via* treatment with sulfuryl chloride or phosphorous oxychloride. Phosgene, phosphorous trichloride, phosphorous oxybromide, phosphorous tribromide and diethylamino sulfur trifluoride (DAST), may also be used to access compounds of Formula 9, wherein Z is halogen from 2-thio-quinazolinones of Formula 5. Amines of Formula HNR^5R^2 are commercially available or can be prepared by well-known methods (March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 1153).

In addition to the methods described above, compounds of Formula Ib and Id can be prepared by displacement of the 2-chlorine in the appropriate fused quinazolinone, rather than by displacement of the 2-SCH_3 group (Scheme 4) or *S*-alkylation of the thiocarbonyl (Scheme 8).

For some compounds of Formula I, one skilled in the art recognizes that certain R^1, WR^2, R^3, R^4 and/or $(R^{19})_p$ substituents may be more conveniently introduced after cyclization to form the quinazolinone system. For example, quinazolinones of Formula Ij, a subset of Ih wherein R^5 is $C(=O)R^{12}$, can be prepared by acylation of the corresponding $R^5 = H$ precursor of Formula Ii as illustrated in Scheme 13.

Scheme 13



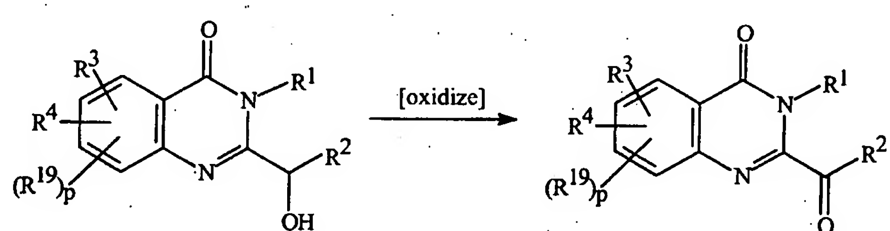
Ij

Ik

The quinazolinones of Formula Ii are treated with an acylating agent of Formula $R^{12}C(=O)L^1$, where L^1 is an appropriate leaving group such as chlorine, $OC(=O)(C_1\text{-}C_4$ alkyl) or OC(=O)H. In a similar fashion, compounds of Formula Ik, a subset of Ih where R^5 is $-C(=O)NHR^7$, can be prepared by condensing quinazolinones of Formula Ii with isocyanates of Formula $R^7N=C=O$ using well-known procedures.

Compounds of Formula Im, wherein Q is O and W is C(=O), are prepared by reaction of compounds of Formula Il with a suitable oxidant as illustrated in Scheme 14. Suitable oxidants for this transformation are manganese dioxide (WO 9429267) or

Scheme 14

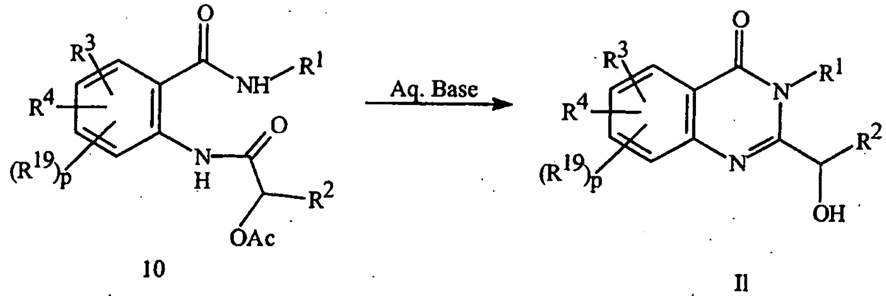


Il Im

DMSO/oxalyl chloride/Et_3N (*Tetrahedron*, (1990), *46*, 1295). The oxidations may be conducted in halocarbon solvents at temperatures ranging from -78 °C to 100 °C and the desired product isolated by filtration from the reaction mixture.

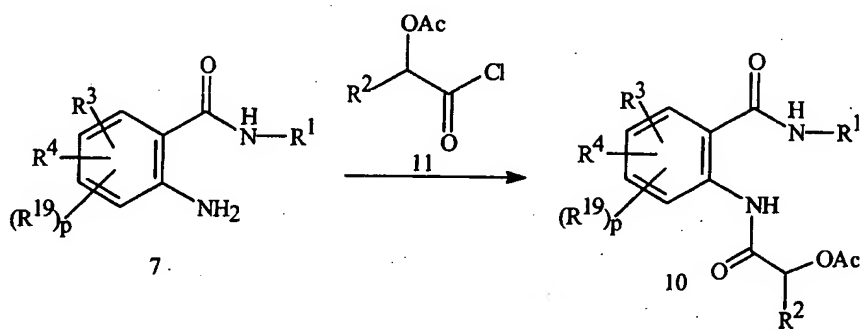
The precursors of Formula Il may be synthesized from compounds of Formula 10 through contact with aqueous base (Scheme 15) as demonstrated in the art (*Tetrahedron*, (1990), *46*, 1295). The reaction may be conducted using aqueous K_2CO_3, $NaCO_3$, or NaOH at temperatures of ambient to 50 °C for 0.5-72 hours. The product Il can be isolated by extraction of the aqueous reaction mixture with a water-immiscible solvent, followed by drying and concentration of the organic phase *in vacuo*.

Scheme 15



Compounds of Formula 10 are synthesized by contacting aminobenzamides 7 with acid halides of Formula 11, as shown in Scheme 16.

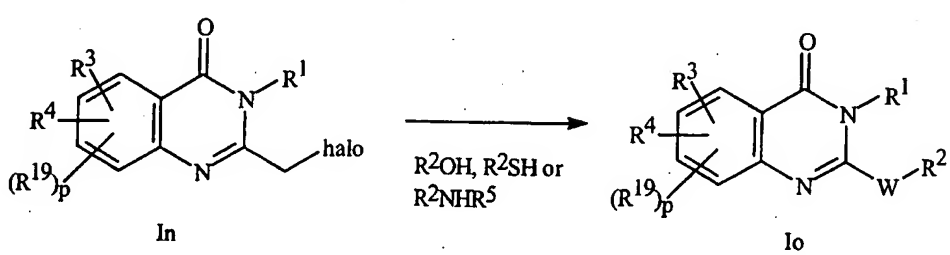
Scheme 16



Aminobenzamides 7 are synthesized as previously described in this document. Acid halides of Formula 11 can be prepared from the corresponding α-acetoxy acids by treatment with oxalyl chloride as described in *Tetrahedron*, (1990), 46, 1295. The requisite α-acetoxy acids are well known and accessible *via* methods known in the art (e.g., *Ber.*, (1904), *37*, 3971; *J. Org. Chem.*, (1990), *55*, (1928); *Tetrahedron Asymmetrie*, (1990), *9*, 87).

Compounds of Formula Io, wherein Q = O and W = CH_2O, CH_2S or CH_2NR^5, can be assembled by reacting halides of Formula In with the nucleophiles R^2OH, R^2SH or R^2NHR^5 (Scheme 17).

Scheme 17



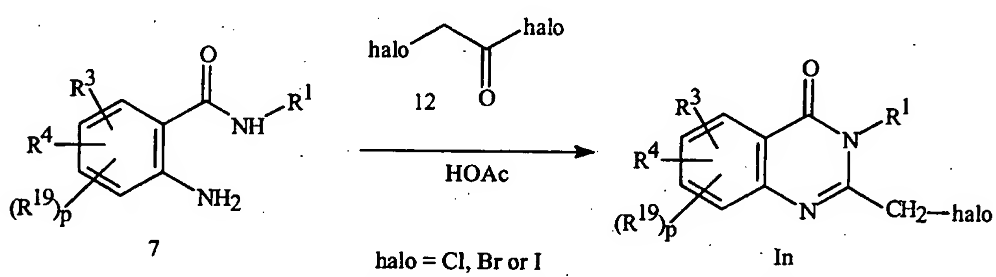
halo = Cl, Br or I

W = CH_2O, CH_2S or CH_2NR^5

The reaction may be run in solvents such as DMF, THF, benzene, acetonitrile, or neat at temperatures ranging from ambient to 150 °C. Bases such as potassium carbonate (K_2CO_3), sodium hydroxide (NaOH), or sodium hydride (NaH) may be employed to facilitate the reaction. Workup is achieved by concentrating the crude reaction mixture *in vacuo* and partitioning the residue between a water-immiscible solvent and water. Drying and concentration of the water-immiscible phase delivers Io, which may be further purified by recrystallization or column chromatography.

Halides of Formula In can be prepared from aminobenzamides 7 in a manner analogous to that described in *J. Med. Chem.*, (1979), *22*, 95. Reaction of acid halides 12 with the aminobenzamides 7 in acetic acid (HOAc) at temperatures ranging from ambient to reflux for 0.1-24 hours affords the halides In after cooling, concentrating *in vacuo*, and optional purification *via* column chromatography and/or recrystallization (Scheme 18).

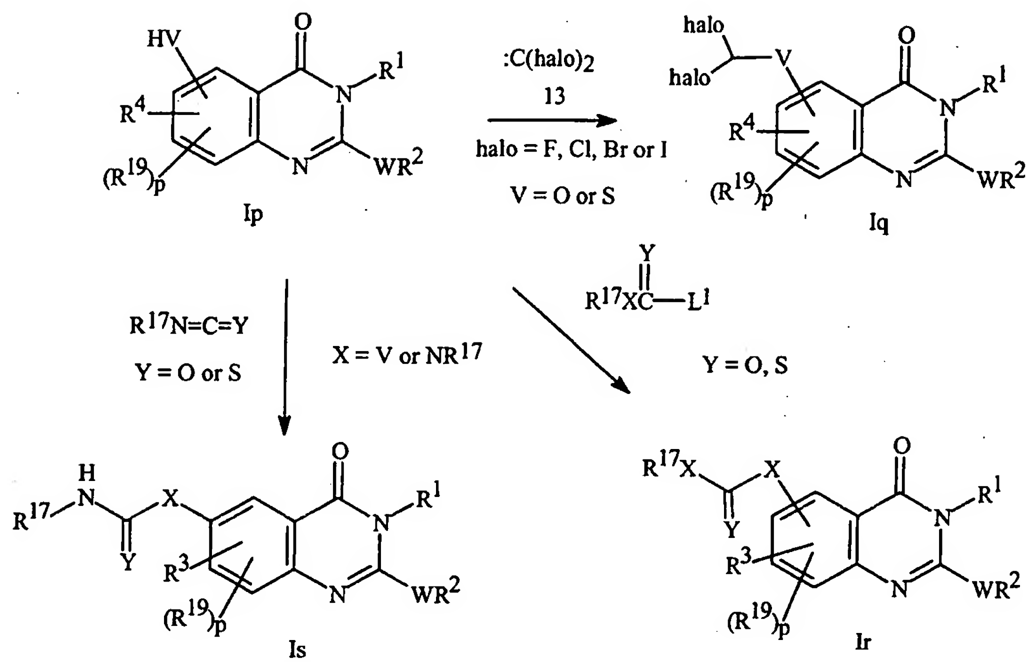
Scheme 18



The acid halides 12 are either commercially available or preparable using established methods. Aminobenzamides 7 may be accessed as described previously in this document.

Quinazolinones of Formula Ip, a subset of I wherein Q = O and $R^3 = R^{14} = VH$, can serve as suitable substrates for the alternative production of compounds such as Iq, Ir and Is (Scheme 19).

Scheme 19

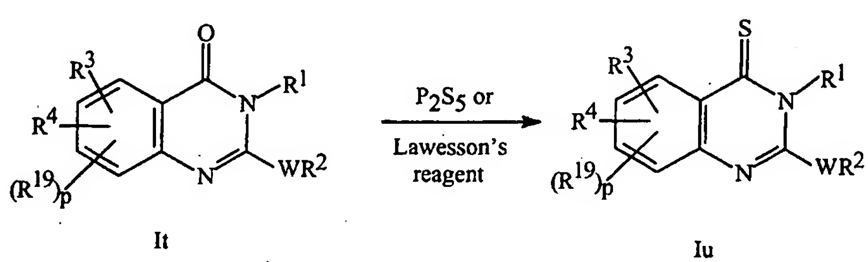


The generation of carbenoid species such as 13 and subsequent reaction with Ip to deliver compounds of Formula Ir can be accomplished by the analogous application of known methods (e.g. *J. Het. Chem.*, (1990), *27*, 807). Likewise, established methods can be applied in preparing the acylated/thioacylated materials Ir and Is (see, for example, *J. Med. Chem.*, (1985), *28*, 876).

The reagents of Formula $R^{17}XC(=Y)L^1$ where L^1 is an appropriate leaving group such as chlorine, $OC(=O)(C_1\text{-}C_4$ alkyl) or $OC(=O)H$ and Formula $R^{17}N{=}C{=}Y$ are readily available commercially or *via* known procedures.

Compounds of Formula Iu, compounds of Formula I wherein Q is S, can be prepared as illustrated in Scheme 20.

Scheme 20



Treatment of the quinazolinone of Formula It with phosphorous pentasulfide or Lawesson's reagent [2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide] in an inert solvent such as dioxane at a temperature from 0 °C to the reflux temperature of

the solvent for 0.1 to 72 hours affords the pyrimidinethione of Formula Iu. This procedure is described in U.S. 3,755,582 and incorporated herein by reference.

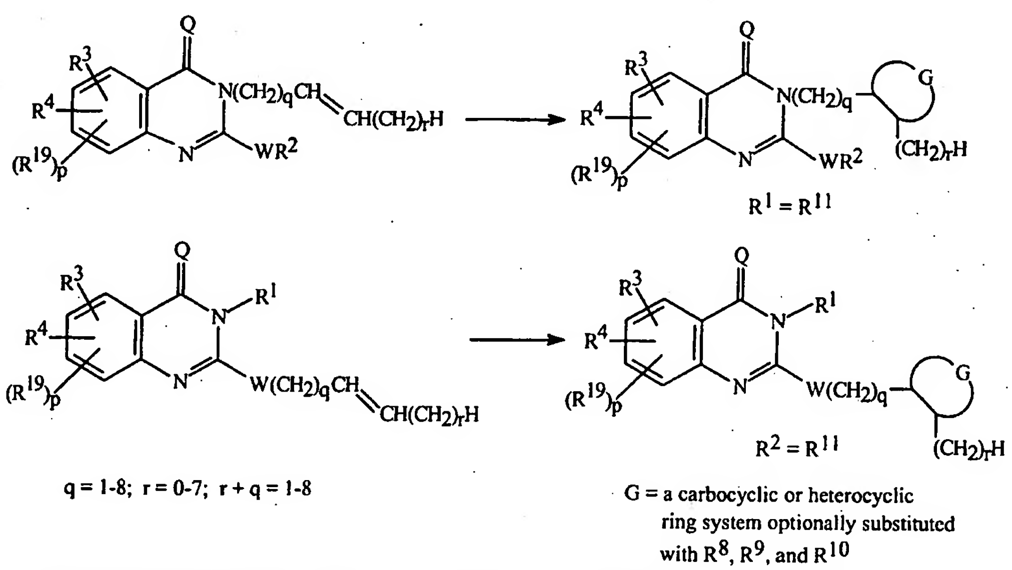
Salts of compounds of Formula I can be formed by treating the free base of the corresponding compound with strong acids such as hydrochloric or sulfuric acid. Salts can also be prepared by alkylation of a tertiary amine group in the molecule to form, for example, the trialkylammonium salt. *N*-Oxides of compounds of Formula I can be made by oxidizing the corresponding reduced nitrogen compound with a strong oxidizing agent such as *meta*-chloroperoxybenzoic acid.

Synthesis of R^{11} Groups

As alluded to above, other compounds of Formula I can be prepared by incorporation of the R^{11} group after the synthesis of the quinazolinone ring system. A method for preparing the desired R^{11} group is to form the carbocycle or heterocycle from the quinazolinone wherein R^1 = alkenyl or alkynyl, or R^2 = alkenyl or alkynyl. Methods for preparing carbocycles or heterocycles from alkenes and alkynes are well-known in the literature.

The method of incorporating R^{11} into the corresponding alkenyl compound is generically illustrated in Scheme 21. The first reaction illustrates the method for preparing $R^1 = R^{11}$ compounds from the corresponding R^1 = alkenyl compound. The second reaction illustrates how the same methodology can be used to prepare the $R^2 = R^{11}$ compounds.

Scheme 21

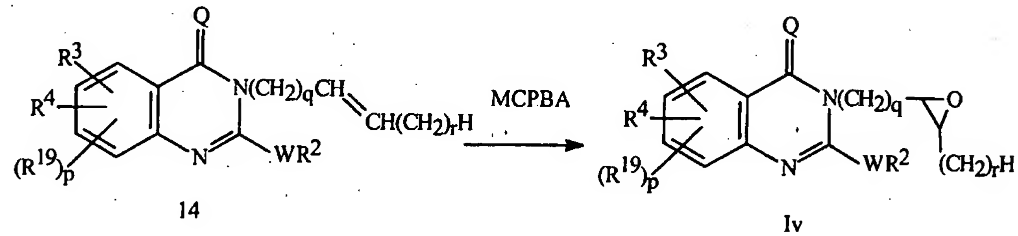


The descriptions below refer to the preparation of the $R^1 = R^{11}$ compounds, although one skilled in the art recognizes that the same procedures can be used to prepare the $R^2 = R^{11}$ materials as well. The starting R^1 or R^2 alkenes are prepared by the methods described above and illustrated in Schemes 1-7.

3-Membered Ring Heterocycles

Compounds of Formula Iv, compounds of Formula I wherein R^1 is R^{11} and R^{11} comprises an epoxide, can be prepared as illustrated in Scheme 22.

Scheme 22

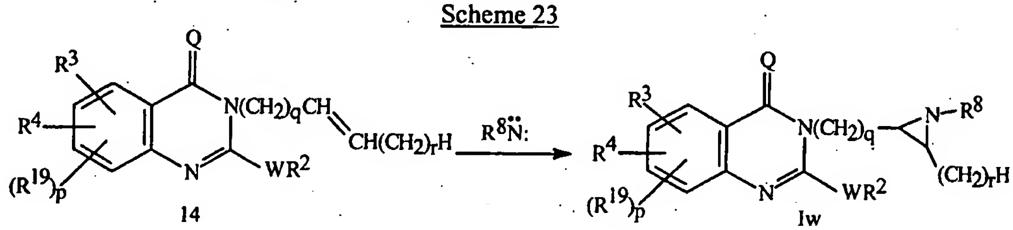


q = 1-8; r = 0-7; r + q = 1-8

Treatment of the alkene of Formula 14 with an oxidizing agent such as *m*-chloroperoxybenzoic acid (MCPBA) in an inert solvent such as methylene chloride affords the epoxide of Formula Iv as described by Schwartz, N., in *J. Org. Chem.*, (1964), *29*, 1976.

Similarly, the aziridines of Formula Iw can be prepared from the alkenes of Formula 14 by condensation with a nitrene as illustrated in Scheme 23 and described in Abramovitch, R. *J. Chem. Soc., Chem. Commun.*, (1972), 1160.

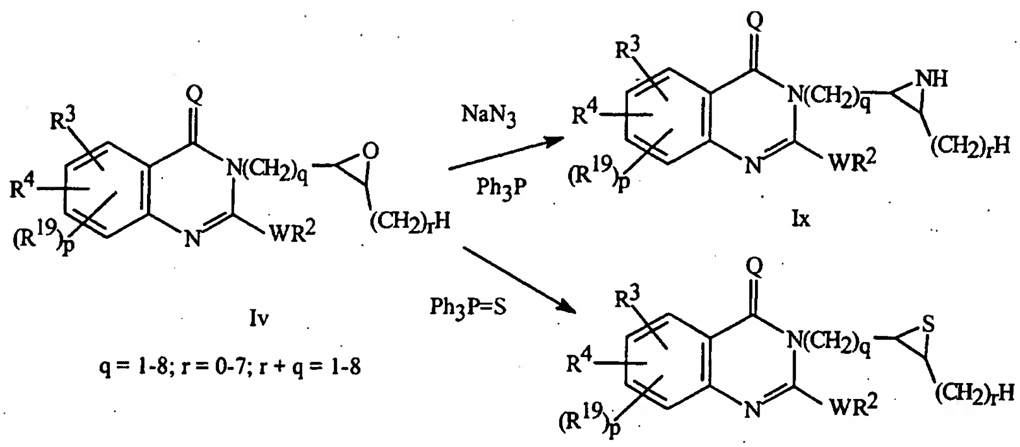
Scheme 23



q = 1-8; r = 0-7; r + q = 1-8

The NH aziridine compound of Formula Ix can be prepared from the corresponding epoxide by contact with sodium azide and triphenylphosphine as illustrated below in Scheme 24 and described by Ittah, Y. in *J. Org. Chem.*, (1978), *43*, 4271. The episulfide of Formula Iy can also be prepared from the epoxide using triphenylphosphine sulfide using techniques taught by Chan, T. in *J. Am. Chem. Soc.*, (1972), *94*, 2880.

Scheme 24

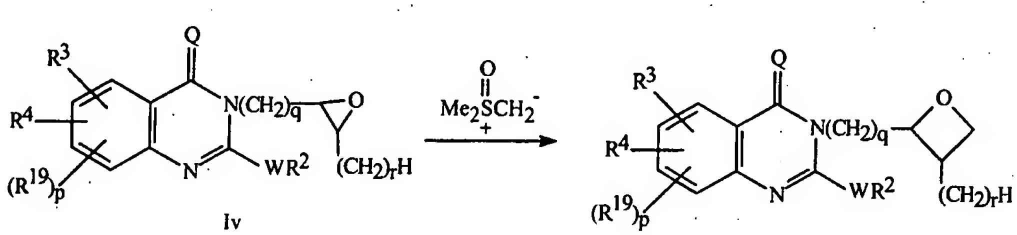


In addition to the methods described above, methods for accessing compounds of Formulae Iv-Iy are taught in Calo, V., *J. Chem. Soc., Chem. Commun.*, (1975), 621; Fujisawa, T., *Chem. Lett.*, (1972), 935; and March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 741.

4-Membered Ring Heterocycles

The synthesis of oxetanes of Formula Iz may be achieved by ring expansion of the corresponding epoxide using dimethyloxosulfonium methylide as illustrated in Scheme 25 and described by J. Okuma in *J. Org. Chem.*, (1983), *48*, 5133. In some cases, a mixture of regioisomers will be obtained. Additional methods for preparing oxetanes, as well as other 4-membered ring heterocycles, from an alkene precursor are well-known in the art. For example, see: Buchi, G., *J. Am. Chem. Soc.*, (1954), *76*, 4327; and Pifferi, G., *J. Heterocyclic Chem.*, (1967), *4*, 619.

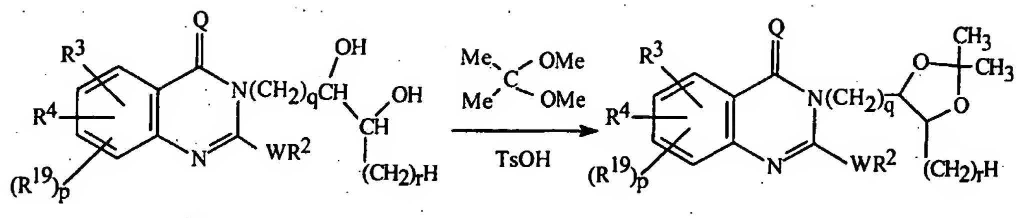
Scheme 25



5-Membered Ring Heterocycles

Compounds of Formula I wherein R^{11} comprises a 5-membered ring heterocycle can be obtained in a variety of ways. For example, dioxolane compounds can be prepared from the glycol using known methods. A method exemplifying the preparation of the dimethyl-dioxolane is illustrated in Scheme 26 and described by A. Hampton in *J. Am. Chem. Soc.*, (1961), *83*, 3640.

Scheme 26



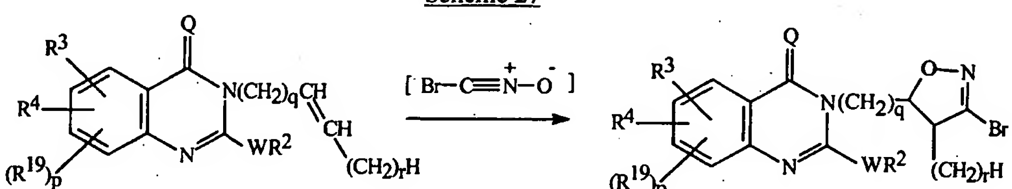
15 Iaa

q = 1-8; r = 0-7; r + q = 1-8

Reaction of the glycol of Formula 15 with *p*-toluenesulfonic acid (TsOH) and 2,2-dimethoxypropane provides the desired material. The glycol of Formula 15 can be prepared from the alkene of Formula 14 using vicinal bis-hydroxylation reagents such as osmium tetroxide (see Wade, P., *Tetrahedron Lett.*, (1989), 5969).

Some 5-membered ring compounds can be prepared from the alkene of Formula 14 using a 1,3-dipole cyclization. For example, reaction of 14 with bromonitrile oxide produces the dihydroisoxazole of Formula Iab as illustrated in Scheme 27 (see Wade, P., in *J. Org. Chem.*, (1990), *55*, 3045).

Scheme 27

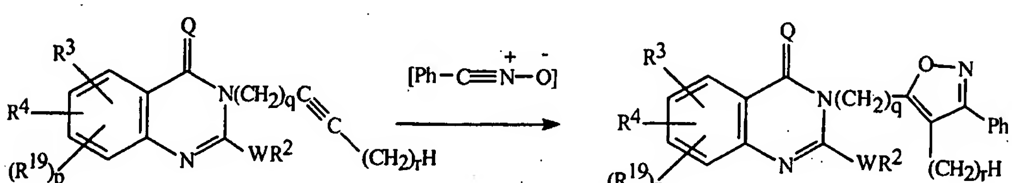


14 Iab

q = 1-8; r = 0-7; r + q = 1-8

Cycloaddition of 1,3-dipoles with alkynes are also well-documented in the literature. For example, C. Kashima in *Heterocycles,* (1979), *12*, 1343 teaches the condensation of an alkyne with benzene nitrile oxide to form the isoxazole. A similar process to prepare the isoxazole of Formula Iac is illustrated in Scheme 28.

Scheme 28



16 Iac

q = 1-8; r = 0-7; r + q = 1-8

Many 1,3-dipoles are known to react with alkenes and alkynes of Formulae 14 and 16, respectively, in cycloaddition reactions. Dipoles and methods for generating them are described in *1,3-Dipolar Cycloaddition Reactions*, A. Padwa, Ed., Wiley Interscience, NY, 1984, Vols. 1 and 2; and *Comprehensive Heterocyclic Chemistry*, Katritzky, A., Ed.,

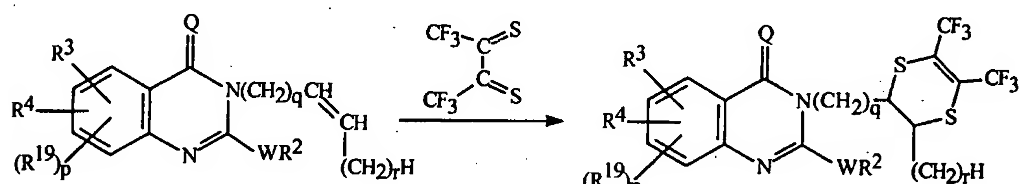
Pergamon, NY, 1984, Vol. 5, p 143). Examples of known 1,3 dipoles are nitrile ylides, nitrile imines, nitrile sulfides, diazoalkanes, azides, azomethine ylides and nitrones.

One skilled in the art will recognize that the regiochemical outcome of the 1,3-dipolar addition will depend on the structures of both the 1,3-dipole and the dipolarophile. In many instances, a mixture of regioisomers will be obtained which can be separated by chromatography or recrystallization.

6-Membered Ring Heterocycles

Compounds of Formula I wherein R^{11} comprises a 6-membered ring heterocycle can be prepared from the alkene of Formula 14 by [4+2] cycloaddition with a suitable heterodiene. For example, conditions similar to those described by Krespan, C., in *J. Am. Chem. Soc.*, (1960), *82*, 1515, can be employed to form dithianes of Formula Iad as illustrated in Scheme 29.

Scheme 29



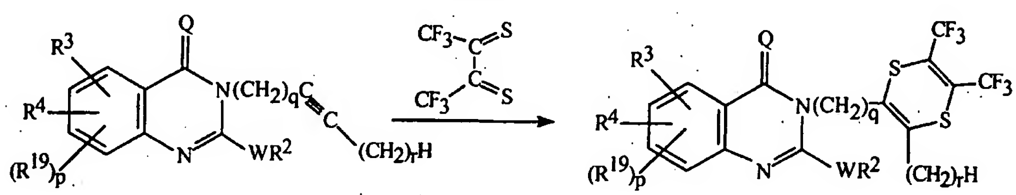
14

Iad

q = 1-8; r = 0-7; r + q = 1-8

As with the aforementioned 1,3-dipolar cycloadditions, alkynes can also engage in reactions with heterodiene systems to afford unsaturated ring compounds such as those of Formula Iae.

Scheme 30



16

Iae

q = 1-8; r = 0-7; r + q = 1-8

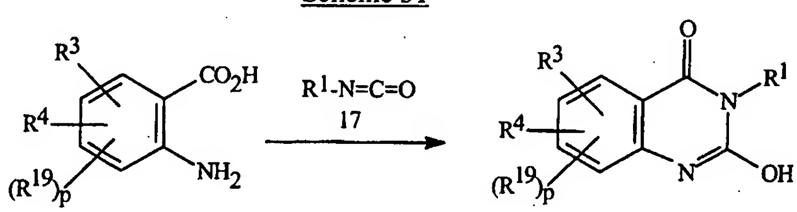
Ample literature exists citing various other heterodiene systems which are known to engage alkenes and alkynes of Formulae 14 and 16, respectively, to deliver 6-membered ring heterocyclic adducts. For example, see *Hetero Diels-Alder Methodology in Organic Synthesis*, Boger, D. and Weinreb, S., Eds., Academic, NY, (1987), pp 167-357; and *Contemporary Heterocyclic Chemistry*, Newkome, G. and Paudler, W., Wiley Interscience, NY, (1982), p 129. Examples of heterodienes known to undergo cycloaddition reactions are thiophene, furan, α,β-unsaturated aldehydes and ketones, α,β-unsaturated thiocarbonyl

compounds, α,β-unsaturated imines, vinyl nitroso species, azoalkenes, acyldiimides, acyl sulfenes, *o*-quinones, and thioamide-*N*-methylium salts.

Again, as in the previously mentioned case of 1,3-dipole cycloadditions, the regiochemical course of the [4+2] condensation depends on the structure of the alkene or alkyne and the heterodiene. Both regioisomers are often obtained in which case the desired regioisomer can be isolated by chromatography or recrystallization.

Compounds of Formula Iaf, wherein W is a direct bond and R^2 is OH, may be assembled from anthranilic acids of Formula 3 as depicted in Scheme 31.

Scheme 31

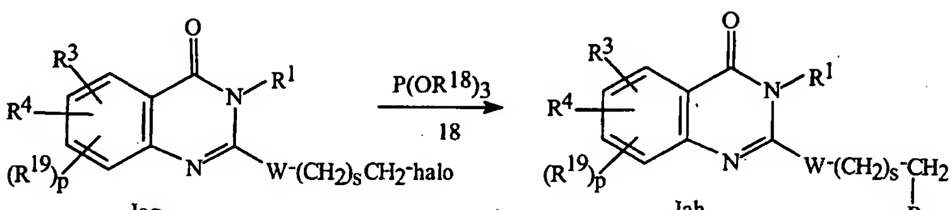


3 Iaf

Acids of Formula 3 are optimally reacted with isocyanates of Formula 17 at temperatures from ambient to about 150 °C in the presence of an inert solvent and base, followed by solvent distillation and heating of the subsequent residue to 200-250 °C for about 0.5 to 1 hour. Suitable solvents for this transformation include acetonitrile, DMF, or dioxane. Suitable bases include triethylamine or pyridine. Upon heating of the heat reaction residue for 0.5 hour-1.0 hour at 200-250 °C, the reaction mass is brought to room temperature, triturated with water and/or lower alkanol, and filtered to provide Iaf.

Phosphonates of Formula Iah are accessible by reacting halides of Formula Iag with phosphites of Formula 18 (Scheme 32).

Scheme 32



Iag
s = 1-9
halo = Cl, Br, I

Iah
s = 1-9

Such reactions are well-known in the art and are incorporated herein by reference (Kaminski, J., *J. Med. Chem.*, (1989), *32*, 1686; March, J., *Advanced Organic Chem.*, 3rd ed., John Wiley: New York, (1985), p 848). Compounds of Formula Iag are preparable by methods described above in this disclosure. Phosphites of Formula 18 are available commercially, or readily prepared by established means.

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula I may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula I. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula I.

One skilled in the art will also recognize that compounds of Formula I and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ^1H NMR spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets and br s = broad singlet.

EXAMPLE 1

Step A: Preparation of methyl 2-amino-5-iodobenzoate hydrochloride

To a solution of methyl anthranilate (25.0 g, 0.166 mol) in glacial acetic acid (3L) was added a second solution of iodine monochloride (26.82 g, 0.166 mol) in glacial acetic acid (250 mL) over 20-30 minutes. The resulting mixture was stirred at room temperature for 24 hours. The ensuing precipitate was filtered, washed with glacial acetic acid followed by diethyl ether, and dried to provide 43.2 g of the title compound, m.p. 188-192 °C; ^1H NMR (300 MHz, Me_2SO-d_6): δ 3.79 (s,3H); 6.67 (d,1H); 7.50 (dd,1H); 7.93 (d,1H).

Anal. Calcd. for $C_8H_9NO_2ICl$: C, 30.65;H, 2.89; N, 4.47; O, 10.21; Cl, 11.31; I, 40.48
Found: C, 31.19; H, 2.85; N, 4.48; O, 10.27; Cl, 11.72; I, 40.15.

A 0.5 g sample of the above hydrochloride salt in dichloromethane (50 mL) was extracted with 1*N* sodium hydroxide (50 mL). The organic phase was separated, dried over anhydrous sodium sulfate, and concentrated under reduced pressure to deliver 0.4 g of the

methyl-5-iodoanthranilate, mp 83-85 °C (lit[1]. mp 83-85 °C); ^{1}H NMR (300 MHz, Me_2SO-d_6): δ 3.79 (s,3H); 6.44 (d,1H); 6.79 (br s,2H); 7.49 (dd,1H); 7.93(d,1H). ([1]*J. Med. Chem.*,1988, *31*, 2136 and references therein.)

Step B: Preparation of methyl 5-iodo-2-isothiocyanatobenzoate

To methyl 2-amino-5-iodobenzoate hydrochloride (20.0 g, 0.063 mol) obtained above was added toluene (720 mL), water (180 mL), sodium bicarbonate (49 g, 0.583 mol) and thiophosgene (13.2 mL, 0.172 mol). The biphasic mixture was stirred at room temperature for 24 h, diluted with water (400 mL), and the phases separated. The organic phase was washed with brine, dried over anhydrous sodium sulfate, and concentrated under reduced pressure to deliver 21.43 g of the title compound, ^{1}H NMR (300 MHz, $CDCl_3$): δ 3.97 (s,1H); 7.02 (d,1H); 7.81 (dd,1H); 8.30 (d,1H). An analytical sample was prepared by taking 0.30 g of the crude material in 1-propanol (5 mL), followed by the dropwise addition of water. The ensuing solid was filtered to deliver 259 mg of purified 5-iodo-2-isothiocyanatobenzoic acid methyl ester, mp 60-62 °C.

Step C: Preparation of methyl 5-iodo-2-[(propoxythioxomethyl)amino]benzoate

To methyl 5-iodo-2-isothiocyanatobenzoate (18.66 g, 0.058 mol) was added 1-propanol (330 mL). The reaction solution was heated to reflux for 24 hours and cooled to room temperature. A 10 mL sample of the reaction mixture was removed and purified by flash chromatography on silica 95:5 v/v hexanes:ethyl acetate to give 0.48 g of the title compound, mp 45-47 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.02 (t,3H); 1.84 (m,2H); 3.95 (s,3H); 4.51 (t,2H); 7.81 (m,1H); 8.33 (m,2H), 11.62 (br s,1H); m/e 378 deprotonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the negative ion mode ($APCI^-$).

Step D: Preparation of 6-iodo-2-propoxy-4(3*H*)-quinazolinone

Methyl 5-iodo-2-[(propoxythioxomethyl)amino]benzoate (1.0 g, 2.64 mmol) was combined with ammonia-saturated 1-propanol (20 mL) in a lightly-capped nalgene® vessel and stirred at room temperature for 24 hours. The reaction mixture was concentrated under reduced pressure to provide 0.93 g of the title compound, mp 213-215 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.04 (t,3H); 1.83 (m,2H); 4.41 (t,2H); 7.24 (d,1H); 7.92 (dd,1H); 8.51 (d,1H); 9.30 (br s, 1H); m/e 331 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode ($APCI^+$).

EXAMPLE 2

Step A: Preparation of 6-iodo-2*H*-3,1-benzoxazine-2,4(1*H*)-dione

A mixture of 2-amino-5-iodobenzoic acid (25 g, 95.05 mmol) and triphosgene (77.1 g, 260.4 mmol) in dioxane (316 mL) was heated to reflux for 8 hours. The resulting solid was filtered and washed with diethyl ether to give 28.1 g of the title compound, ^{1}H NMR (300 MHz, Me_2SO-d_6): δ 6.96 (d,1H); 8.02 (dd,1H); 8.13 (d,1H); 11.82 (br s,1H); m/e 288

deprotonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the negative ion mode (APCI$^-$).

Step B: Preparation of 2-amino-5-iodo-*N*-propylbenzamide

Propylamine (1.2 g, 20.3 mmol) and 6-iodo-2*H*-3,1-benzoxazine-2,4(1*H*)-dione (5.0 g, 17.3 mmol) were combine in pyridine (85 mL) and stirred at room temperature for 24 hours. The reaction was concentrated under reduced pressure and the resulting residue was partitioned between ethyl acetate (200 mL) and 5% hydrochloric acid (200 mL). The phases were separated and the organic phase was washed with 1*N* sodium hydroxide, water, and brine. Drying over anhydrous sodium sulfate and evaporation under reduced pressure afforded 3.9 g of the title compound, ^{1}H NMR (300 MHz, $CDCl_3$): δ 0.99 (t,3H); 1.63 (m,2H); 3.35 (m,2H); 5.52 (br s,2H); 5.95 (br s,1H); 6.47 (d,1H); 7.42 (dd,1H); 7.55(d,1H).

Step C: Preparation of 2-(chloromethyl)-6-iodo-3-propyl-4(3*H*)-quinazolinone

To a solution of 2-amino-5-iodo-*N*-propylbenzamide (2.9 g, 9.54 mmol) in acetic acid (100 mL) was added chloroacetylchloride (3.2 g, 28.48 mmol) dropwise over a 5 minute period. The reaction mixture was heated to 110 °C for 22 hour, concentrated to 1/4 volume, and added dropwise to 16% sodium hydroxide (100 mL) at -5 to 0 °C. The resulting precipitate was filtered, washed with water, and dried to deliver 2.59 g of the title compound, mp 140-144 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.04 (t,3H); 1.84 (m,2H); 4.14 (t,2H); 4.59 (s,2H); 7.40 (d,1H); 8.03 (dd,1H); 8.62 (dd,1H).

Step D: Preparation of 2-(ethoxymethyl)-6-iodo-3-propyl-4(3*H*)-quinazolinone

A mixture of 2-(chloromethyl)-6-iodo-3-propyl-4(3*H*)-quinazolinone (0.28 g, 0.77 mmol) and a 21% solution of sodium ethoxide/ethanol (0.278 g, 0.86 mmol) were combined in ethanol (7 mL) and stirred at room temperature for 1 hour. *N,N*-Dimethylformamide (2 mL) was added to the reaction mixture and stirring was continued for 48 hours. The reaction was quenched with 5% hydrochloric acid (1 mL), and concentrated under reduced pressure. The resulting residue was partitioned between dichloromethane (50 mL) and water (50 mL). The organic phase was separated, washed with brine, dried over anhydrous sodium sulfate, and evaporated under reduced pressure to give 0.37 g of crude product. Flash chromatography on silica using 80:20 v/v hexanes:ethyl acetate as eluent provided 0.20 g of the title compound, mp 99-102 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.02 (t,3H); 1.26 (t,3H); 1.78 (m,2H); 3.64 (q,2H); 4.15 (t,2H), 4.58 (s,2H); 7.42 (d, 1H); 7.98 (dd,1H); 8.62(d,1H).

EXAMPLE 3

Preparation of 3,4-dihydro-4-oxo-2-propoxy-3-propyl-6-quinazolinyl thiocyanate

A mixture of 2-amino-5-thiocyanatobenzoic acid (1.1 g, 5.67 mmol), S-methyl O-propyl propylcarbonimidothioate (2.0 g, 11.43 mmol), and triethylamine (0.55 g, 5.61 mmol) in benzene (57 mL) was heated at reflux for 24 hours. Following solvent removal under reduced pressure, the resulting residue was partitioned between dichloromethane (100 mL)

and 1*N* hydrochloric acid (100 mL). The organic phase was separated, washed with water and brine, and dried over anhydrous sodium sulfate. Concentration under reduced pressure afforded 2.0 g of crude product. Column chromatography on silica gel using 90:10 v/v hexanes:ethyl acetate as eluent, followed by trituration with hexanes, provided 0.14 g of the title compound, mp 117-120 °C; (300 MHz, $CDCl_3$): δ 0.98 (t,3H); 1.07 (t,3H); 1.75 (m,2H); 1.85 (m,2H); 4.05 (t,2H); 4.64 (t,2H); 7.54(d,1H); 7.79 (dd,1H); 8.35 (d,1H); m/e 304 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode ($APCI^+$).

EXAMPLE 4

Step A: Preparation of 2,3-dihydro-6-hydroxy-3-propyl-2-thioxo-4(1*H*)-quinazolinone

A mixture of 2-amino-5-hydroxybenzoic acid (1.0 g, 6.53 mmol), propyl isothiocyanate (0.63 g, 6.20 mmol), and triethylamine (0.66 g, 6.53 mmol) in ethanol (17 mL) was heated to reflux for 24 hours. The reaction mixture was then allowed to cool to room temperature and filtered. The resulting filter cake was washed with ethanol followed by hexanes to provide 1.10 g of the title compound, mp 318-323 °C; 1H NMR (300 MHz, Me_2SO-d_6): δ 0.90 (t,3H); 1.62 (m,2H); 4.35 (t,2H); 7.22 (dd,1H); 7.28 (m,1H); 7.97 (d,1H); 9.98 (s,1H); 12.80 (s,1H).

Step B: Preparation of 6-hydroxy-3-propyl-2-(propylthio)-4(3*H*)-quinazolinone

Potassium carbonate (4.68 g, 33.90 mmol), iodopropane (6.6 g, 38.82 mmol) and 2,3-dihydro-6-hydroxy-3-propyl-2-thioxo-4(1*H*)-quinazolinone (8.0 g, 33.90 mmol) were combined in *N,N*-dimethylformamide (160 mL) and stirred at room temperature for 4 hours. The reaction mixture was then concentrated to dryness under reduced pressure, and the ensuing residue was partitioned between ethyl acetate (400 mL) and water (400mL). The organic phase was separated, washed with brine, dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude product was triturated with hexanes to deliver 8.4 g of the title compound, mp 155-157 °C; ^1H NMR (300 MHz, $CDCl_3$): δ 1.05 (m,6H); 1.76 (m,4H); 3.25 (t,2H); 4.10 (t,2H); 7.28 (dd,1H); 7.46 (m,2H); 7.95 (d,1H).

Step C: Preparation of 6,6'-[(fluoromethylene)bis(oxy)]bis[3-propyl-2-(propylthio)-4(3*H*)-quinazolinone]

A mixture of 6-hydroxy-3-propyl-2-(propylthio)-4(3*H*)-quinazolinone (0.5 g, 1.80 mmol) and potassium carbonate (0.66 g, 4.8 mmol) was combined in *N,N*-dimethylformamide (10 mL) and stirred at room temperature. A balloon charged with CF_2CHCl was opened to the reaction mixture and an exotherm (23-30 °C) was observed as the contents of the balloon were consumed. The balloon was recharged several more times and stirring was continued for 48 hours. The reaction mixture was carefully poured into water (50 mL) and extracted twice with diethyl ether (25 mL). The organic layers were combined, washed with water and brine, dried over anhydrous sodium sulfate, and concentrated under reduced pressure to give 0.54 g of a crude mixture. Column

chromatography on silica gel (90:10 v/v hexanes:ethyl acetate) provided 0.27 g of 6-(difluoromethoxy)-3-propyl-2-(propylthio)-4(3*H*)-quinazolinone, mp 61-66 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.04 (m,6H); 1.81 (m,4H); 3.25 (t,2H); 4.09 (t,2H); 6.59 (t,1H); 7.42 (dd,1H); 7.53(d,1H); 7.88 (d,1H); m/e 329 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode (APCI$^+$), in addition to 0.08 g of the title compound 6,6'-[(fluoromethylene)bis(oxy)]bis[3-propyl-2-(propylthio)-4(3*H*)-quinazolinone], mp 125-133 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ1.04 (m,12H); 1.81 (m,8H); 3.25 (t,4H); 4.09 (t,4H); 6.71 (d,1H); 7.42 (dd,2H); 7.53(d,2H); 7.88 (d,2H); m/e 587 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode (APCI$^+$). A 0.08 g sample of 6,6',6''-[methylidynetris(oxy)]tris[3-propyl-2-(propylthio)-4(3*H*)-quinazolinone] was also isolated, mp 115-122 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ1.00 (t,9H); 1.08 (t,9H); 1.81 (m,12H); 3.25 (t,6H); 4.09 (t,6H); 6.83 (d,1H); 7.50 (m,6H); 7.90 (m,3H); m/e 845 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode (APCI$^+$).

EXAMPLE 5

Step A: Preparation of *O*-[3,4-dihydro-4-oxo-3-propyl-2-(propylthio)-6-quinazolinyl] dimethylcarbamothioate

A mixture of 60% sodium hydride (0.16 g, 4.0 mmol) and *N,N*-dimethylformamide (18 mL) was stirred at room temperature for 10 minutes, cooled to 0 °C, and treated with 6-hydroxy-3-propyl-2-(propylthio)-4(3*H*)-quinazolinone (1.0 g, 3.60 mmol). The reaction mixture was stirred for 10 minutes and a solution of dimethylthiocarbamoyl chloride (0.45 g, 3.64 mmol) in tetrahydrofuran (5 mL) was added over 0.5 minutes. After stirring at 0 °C for 10 minutes and room temperature for 3 h, the reaction mixture was poured into water (200 mL) and extracted with diethyl ether (200 mL). The phases were separated and the aqueous phase was extracted with additional diethyl ether (100 mL). The organic extracts were combined, washed with brine, and dried over anhydrous sodium sulfate. Solvent removal under reduced pressure afforded 1.4 g of crude product. The crude material was recrystallized from hexanes to provide 1.39 g of the title compound, mp 84-87 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.05 (m,6H); 1.78 (m,4H); 3.25 (t,2H); 3.38(s,3H); 3.47(s,3H); 4.08 (t,2H); 7.40 (dd,1H); 7.54 (d,1H); 7.83 (d,1H).

Step B: Preparation of *S*-[3,4-dihydro-4-oxo-3-propyl-2-(propylthio)-6-quinazolinyl] dimethylcarbamothioate

O-[3,4-dihydro-4-oxo-3-propyl-2-(propylthio)-6-quinazolinyl]dimethylcarbamo-thioate (5.5 g, 15.07 mmol) was added to diphenyl ether (16.5 mL) at 270 °C. The temperature was raised to 320-330 °C for 3 hours then cooled to room temperature. The reaction mixture was adhered to silica and subjected to flash chromatography (solvent gradient of 95:5 to 80:20

v/v hexanes:ethyl acetate) to provide 3.5 g of the title compound, mp 77-79 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.05 (m,6H); 1.78 (m,4H); 3.08 (br m,6H); 3.26 (t,2H); 4.08 (t,2H); 7.54 (d,1H); 7.75 (dd,1H); 8.30 (d,1H).

Step C: Preparation of 6-[(difluoromethyl)thio]-3-propyl-2-(propylthio)-4(3*H*)-quinazolinone

A solution of 1-propanol (0.5 mL) in *N,N*-dimethylformamide (10 mL) was treated with 60% sodium hydride (0.14 g, 3.5 mmol) and stirred for 20 minutes at room temperature. A solution of *S*-[3,4-dihydro-4-oxo-3-propyl-2-(propylthio)-6-quinazolinyl] dimethylcarbamothioate(0.5 g, 1.4 mmol) in *N,N*-dimethylformamide (1 mL) was added and the resulting mixture was stirred at room temperature for 4 hours. An additional equivalent of sodium propoxide in propanol was added, and stirring was continued for 15 minutes. Potassium carbonate (1.9 g, 13.8 mmol) was added and a balloon charged with CF_2CHCl was opened to the reaction. The mixture was stirred for 24 hours, carefully poured into water (50 mL) and extracted twice with diethyl ether (25 mL). The organic layers were combined, washed with water and brine, dried over anhydrous sodium sulfate, and concentrated under reduced pressure to give 0.6 g of crude mixture. Column chromatography on silica using 95:5 v/v hexanes:ethyl acetate as eluent afforded the following compounds (in order of elution): 0.12 g of 6-[(difluoromethyl)thio]-3-propyl-2-(propylthio)-4(3*H*)-quinazolinone, mp 65-68 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.05 (m,6H); 1.79 (m,4H); 3.27 (t,2H); 4.10 (t,2H); 6.82 (t,1H); 7.53 (d,1H); 7.80 (dd,1H); 8.42 (d,1H); m/e 345 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode ($APCI^+$), 0.11 g of 6-[(difluoromethyl)thio]-2-propoxy-3-propyl-4(3*H*)-quinazolinone, mp 51-55 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 0.97 (t,3H); 1.07 (t,3H); 1.75 (m,2H); 1.85 (m,2H); 4.04 (t,2H); 4.46 (t,2H); 6.81 (t,1H); 7.43 (d,1H); 7.78 (dd,1H); 8.40 (d,1H); m/e 329 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode ($APCI^+$), 0.10 g of 6-[(3,4-dihydro-4-oxo-2-propoxy-3-propyl-6-quinazolinyl)dithio]-3-propyl-2-(propylthio)-4(3*H*)-quinazolinone, ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.04 (m,12H); 1.80 (m,8H); 3.23 (t,2H); 4.03 (m,4H); 4.42 (t,2H); 7.40 (d,1H); 7.43 (d,1H); 7.79 (m,2H); 8.28 (m,2H); m/e 571 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode ($APCI^+$), 0.17 g of 6,6'-dithiobis[2-propoxy-3-propyl-4(3*H*)-quinazolinone], ^{1}H NMR (300 MHz, $CDCl_3$): δ 0.98 (t,6H); 1.05 (t,6H); 1.70 (m,4H); 1.81 (m,4H); 4.01 (t,4H); 4.42 (t,4H); 7.40 (d,2H); 7.78 (dd,2H); 8.28 (d,2H); m/e 555 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode ($APCI^+$), and 0.030 g of 6,6'-[methylenebis(thio)]bis[2-propoxy-3-propyl-4(3*H*)-quinazolinone], ^{1}H NMR (300 MHz, $CDCl_3$): δ 0.98 (t,6H); 1.07 (t,6H); 1.72 (m,4H); 1.85 (m,4H); 4.03 (t,4H); 4.40 (m,6H); 7.38

(d,2H); 7.64 (dd,2H); 8.21 (d,2H); m/e 569 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode ($APCI^+$).

EXAMPLE 6

Step A: Preparation of 6,6'-dithiobis[2,3-dihydro-3-propyl-2-thioxo-4(*1H*)-quinazolinone]

A mixture of 2-amino-5-thiocyanatobenzoic acid (5.0 g, 25.77 mmol), propyl isothiocyanate (2.47 g, 24.48 mmol), and triethylamine (2.6 g, 25.74 mmol) in ethanol (68 mL) was heated to reflux for 24 hours. The reaction mixture was then allowed to cool to room temperature and filtered. The resulting filter cake was washed with ethanol followed by hexanes to provide 2.2 g of the title compound, mp >300 °C; ^{1}H NMR (300 MHz, Me_2SO-d_6): δ 0.89 (t,6H); 1.62 (m,4H); 4.29 (t,4H); 7.40 (d,2H); 7.87 (dd,2H); 7.97 (d,2H); 13.02 (br s,2H); m/e 501 deprotonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the negative ion mode ($APCI^-$).

Step B: Preparation of 6,6'-dithiobis[3-propyl-2-(propylthio)-4(*3H*)-quinazolinone]

Potassium carbonate (0.60 g, 4.33 mmol), iodopropane (0.81 g, 4.76 mmol) and 6,6'-dithiobis[2,3-dihydro-3-propyl-2-thioxo-4(*1H*)-quinazolinone] (1.2 g, 2.40 mmol) were combined in *N,N*-dimethylformamide (21 mL) and stirred at room temperature for 24 hours. The reaction mixture was then concentrated to dryness under reduced pressure, and the ensuing residue was partitioned between dichloromethane (150 mL) and water (150 mL). The organic phase was separated, washed with brine, dried over anhydrous sodium sulfate, and concentrated under reduced pressure to deliver 1.4 g of crude product. The crude material was purified by flash chromatography on silica gel using 80:20 v/v hexanes:ethyl acetate as eluent to afford 0.59 g of the title compound, mp 115-119 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 0.99 (t,6H); 1.07 (t,6H); 1.78 (m,8H); 3.24 (t,4H); 4.06 (t,4H); 7.48 (d,2H); 7.79(dd,2H); 8.29 (d,2H); m/e 587 protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode ($APCI^+$).

EXAMPLE 7

Preparation of 2-Chloro-6-iodo-3-*n*-propyl-4(*3H*)-quinazolinone

6-Iodo-3*n*-propyl-2-thio-4(*3H*)-quinazolinedione (5 g, 0.014 moles, prepared from propyl isothiocyanate in a manner similar to that described in Example 4, Step A using 2-amino-5-iodo benzoic acid in place of 2-amino-5-hydroxybenzoic acid) was slurried in *n*-propyl acetate and treated with phosgene (2.1 mL, 0.029 mol). The slurry was heated at reflux for 1 hour. The excess phosgene was removed by co-distillation with *n*-propyl acetate at atmospheric pressure. The pot residue was then evaporated to dryness under vacuum. In this manner, 4.95 g of the title compound was obtained as a light pink solid. mp 98-100 °C;

^{1}H NMR (Me_2SO-d_6): δ 8.04 (d,1H); 8.16 (dd,1H); 7.31 (d,1H); 4.20 (m,2H); 1.78 (m,2H); 0.97 (t,3H).

EXAMPLE 8

Preparation of 6-iodo-3-*n*-propyl-4(3*H*)-quinazoline-2,4-dione

A mixture of 5.0 g (0.019 moles) 2-amino-5-iodobenzoic acid, 1.9 g (0.012 moles) *n*-propyl isocyanate, and 1.9 g (0.019 moles) triethylamine in 190 mL of acetonitrile was stirred at ambient temperature overnight. An additional 1.9 g (0.012 moles) of *n*-propyl isocyanate was added and stirring continued at ambient temperature for an additional 72 hours. The reaction was then concentrated by atmospheric pressure distillation to deliver 7.8 g of an oil which solidified upon standing *in vacuo*. A 3.6 g portion of this crude material was subjected to heating neat at 190 °C for 0.75 hours. The resulting reaction mass was cooled, treated with approximately 20 mL ethanol, agitated, and filtered. The filter cake was subsequently washed with ether and dried to deliver 1.8 g of the title compound, ^{1}H NMR (300 MHz, Me_2SO-d_6): δ 0.87 (s,3H); 1.50-1.69 (m,2H); 3.83 (t,2H); 6.99 (d,1H); 7.94 (dd,1H); 8.16 (d,1H); 11.02 (brs, NH).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 21 can be prepared. The following abbreviations are used in the Tables which follow: *s* = secondary, *n* = normal, *i* = iso, *c* = cyclo, Me = methyl, Et = ethyl, Pr = propyl, *i*-Pr = isopropyl, Bu = butyl, Ph = phenyl, OMe = methoxy, OEt = ethoxy, SMe = methylthio, CN = cyano, SCN = thiocyanato, NO_2 = nitro, $S(O)_2Me$ = methylsulfonyl,

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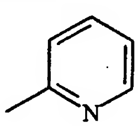

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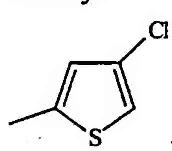

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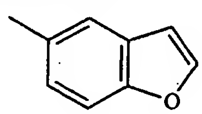

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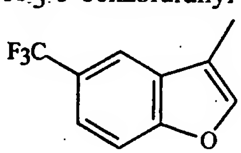

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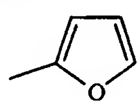

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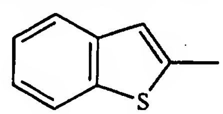

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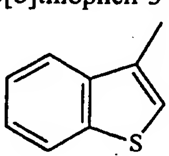

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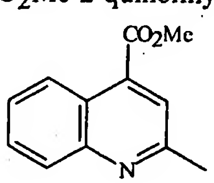
4-CO_2Me-2-quinolinyl =



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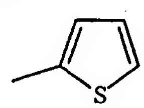

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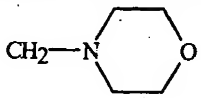
(4-morpholinyl)methyl =



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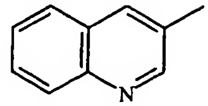
3-quinolinyl =



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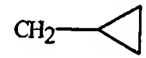

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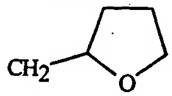
2-(THF)CH_2 =



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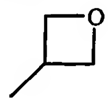
3-oxetanyl =



and

3-furanyl =

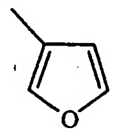


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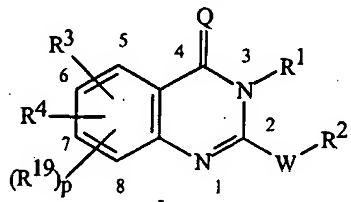
TABLE 1



I

Compounds of Formula I wherein Q = O, W = CH_2O, R^2 = Et, R^3 = 6-I, R^4 = H and p = 0.

R^1	R^1	R^1	R^1
Me	*n*-Bu	*n*-pentyl	*n*-hexyl
Et	*i*-Pr	*i*-Bu	*s*-Bu
c-propyl	*c*-butyl	*c*-pentyl	2-propenyl
3-butenyl	2-propynyl	3-butynyl	CF_3
2-Cl-Et	3-Br-*n*-Pr	$CH_2CH{=}CHCl$	$CH_2C{\equiv}CCl$
CH_2OCH_3	$CH_2OCH_2CH_3$	CH_2SCH_3	$CH_2SCH_2CH_3$
$CH_2CH_2SCH_3$	$CH_2CH_2OCH_2C{\equiv}CH$	$CH_2CH_2CH_2S(O)_2CH_3$	(*c*-pentyl)CH_2
$CH_2CH_2OCH_2CH{=}CH_2$	$CH_2CH_2SCH_2C{\equiv}CH$	CH_2OCF_3	$CH_2OCH_2CH_2Cl$
$CH_2CH_2SCH_2CH{=}CH_2$	$CH_2CH_2NO_2$	$CH_2CH{=}CHCH_2OCH_3$	$CH_2CH_2N(CH_3)_2$
$CH_2CH{=}CHCH_2SCH_3$	$CH_2CH_2Si(CH_3)_3$	$CH_2CH_2CO_2CH_3$	$CH_2CH_2CH_2NHCH_3$
2-furanyl	$CH_2CH_2CH_2CN$	$OCH_2CH_2CH_3$	benzo[*b*]thiophen-3-yl
(2-THF)CH_2	2-pyridinyl	2-thienyl	5-benzofuranyl
3-quinolinyl	*c*-hexyl	cyclopropylmethyl	

TABLE 2

Compounds of Formula I wherein: Q = O, R^1 = propyl, W = CH_2O, R^3 = 6-I, R^4 = H, and p = 0.

R^2	R^2	R^2	R^2
Et	*n*-Pr	*i*-Pr	*n*-Bu
i-Bu	*s*-Bu	*n*-pentyl	*n*-hexyl
n-decyl	*c*-hexyl	2-propenyl	2-butenyl
3-butenyl	5-decenyl	2-propynyl	2-butynyl
3-butynyl	CF_3	CH_2CF_3	$CH_2CH{=}CHCl$

R^2	R^2	R^2	R^2
$CH_2C{\equiv}CBr$	CH_2OCH_3	$CH_2OCH_2CH_3$	$CH_2CH_2OCH_3$
CH_2SCH_3	$CH_2CH_2SCH_3$	$CH_2CH_2CH_2S(O)_2CH_3$	(*c*-pentyl)CH_2
2-Cl-Et	$CH_2CH_2OCH_2C{\equiv}CH$	$CH_2CH_2SCH_2CH{=}CH_2$	$CH_2CH_2CH_2CN$
$CH_2CH_2Si(CH_3)_3$	$CH_2CH_2OCF_3$	$CH_2CH_2SCH_2C{\equiv}CH$	$CH_2OCH_2CH_2Cl$
Ph	$CH_2CH_2CO_2Et$	$CH_2CH_2CH_2N(CH_3)_2$	CH_2CH_2(4-F-Ph)
4-MeO-Ph	CH_2Ph	$CH_2CH_2OCH_2CH{=}CH_2$	$N(CH_3)_2$
$CH_2CH_2CH_2NHCH_3$	$CH_2CH_2NO_2$	$NHCH_2CH_2CH_3$	2-CN-Ph
2,4-diCl-Ph	2,4,6-triF-Ph	4-CF_3-Ph	$CH_2CH_2CH_2Ph$
(2-THF)CH_2	cyclopropylmethyl	CH_2CN	4-Cl-Ph

TABLE 3

Compounds of Formula I wherein Q = O, W = CH_2O, R^2 = Et, R^3 = 6-I, R^4 = 8-I and p = 0.

R^1	R^1	R^1	R^1
Me	*n*-Bu	*n*-pentyl	*n*-hexyl
Et	*i*-Pr	*i*-Bu	*s*-Bu
c-propyl	*c*-butyl	*c*-pentyl	2-propenyl
3-butenyl	2-propynyl	3-butynyl	CF_3
2-Cl-Et	3-Br-*n*-Pr	$CH_2CH{=}CHCl$	$CH_2C{\equiv}CCl$
CH_2OCH_3	$CH_2OCH_2CH_3$	CH_2SCH_3	$CH_2SCH_2CH_3$
$CH_2CH_2SCH_3$	$CH_2CH_2OCH_2C{\equiv}CH$	$CH_2CH_2CH_2S(O)_2CH_3$	(*c*-pentyl)CH_2
$CH_2CH_2OCH_2CH{=}CH_2$	$CH_2CH_2SCH_2C{\equiv}CH$	CH_2OCF_3	$CH_2OCH_2CH_2Cl$
$CH_2CH_2SCH_2CH{=}CH_2$	$CH_2CH_2NO_2$	$CH_2CH{=}CHCH_2OCH_3$	$CH_2CH_2N(CH_3)_2$
$CH_2CH{=}CHCH_2SCH_3$	$CH_2CH_2Si(CH_3)_3$	$CH_2CH_2CO_2CH_3$	$CH_2CH_2CH_2NHCH_3$
2-furanyl	$CH_2CH_2CH_2CN$	$OCH_2CH_2CH_3$	benzo[*b*]thiophen-3-yl
(2-THF)CH_2	2-pyridinyl	2-thienyl	5-benzofuranyl
3-quinolinyl	*c*-hexyl	cyclopropylmethyl	

Q = S

R^1	R^1	R^1	R^1
Me	*n*-Bu	*n*-pentyl	*n*-hexyl
Et	*i*-Pr	*i*-Bu	*s*-Bu
c-propyl	*c*-butyl	*c*-pentyl	2-propenyl
3-butenyl	2-propynyl	3-butynyl	CF_3
2-Cl-Et	3-Br-*n*-Pr	$CH_2CH{=}CHCl$	$CH_2C{\equiv}CCl$
CH_2OCH_3	$CH_2OCH_2CH_3$	CH_2SCH_3	$CH_2SCH_2CH_3$
$CH_2CH_2SCH_3$	$CH_2CH_2OCH_2C{\equiv}CH$	$CH_2CH_2CH_2S(O)_2CH_3$	(*c*-pentyl)CH_2

R^1	R^1	R^1	R^1
$CH_2CH_2OCH_2CH=CH_2$	$CH_2CH_2SCH_2C\equiv CH$	CH_2OCF_3	$CH_2OCH_2CH_2Cl$
$CH_2CH_2SCH_2CH=CH_2$	$CH_2CH_2NO_2$	$CH_2CH=CHCH_2OCH_3$	$CH_2CH_2N(CH_3)_2$
$CH_2CH=CHCH_2SCH_3$	$CH_2CH_2Si(CH_3)_3$	$CH_2CH_2CO_2CH_3$	$CH_2CH_2CH_2NHCH_3$
2-furanyl	$CH_2CH_2CH_2CN$	$OCH_2CH_2CH_3$	benzo[*b*]thiophen-3-yl
(2-THF)CH_2	2-pyridinyl	2-thienyl	5-benzofuranyl
3-quinolinyl	*c*-hexyl	cyclopropylmethyl	

TABLE 4

Compounds of Formula I wherein Q = O, R^1 = cyclopropylmethyl, W = CH_2O, R^3 = 6-I, R^4 = H and p = 0.

R^2	R^2	R^2	R^2
Et	*n*-Pr	*i*-Pr	*n*-Bu
i-Bu	*s*-Bu	*n*-pentyl	*n*-hexyl
n-decyl	*c*-hexyl	2-propenyl	2-butenyl
3-butenyl	5-decenyl	2-propynyl	2-butynyl
3-butynyl	CF_3	CH_2CF_3	$CH_2CH=CHCl$
$CH_2C\equiv CBr$	CH_2OCH_3	$CH_2OCH_2CH_3$	$CH_2CH_2OCH_3$
CH_2SCH_3	$CH_2CH_2SCH_3$	$CH_2CH_2CH_2S(O)_2CH_3$	(*c*-pentyl)CH_2
2-Cl-Et	$CH_2CH_2OCH_2C\equiv CH$	$CH_2CH_2SCH_2CH=CH_2$	$CH_2CH_2CH_2CN$
$CH_2CH_2Si(CH_3)_3$	$CH_2CH_2OCF_3$	$CH_2CH_2SCH_2C\equiv CH$	$CH_2OCH_2CH_2Cl$
Ph	$CH_2CH_2CO_2Et$	$CH_2CH_2CH_2N(CH_3)_2$	CH_2CH_2(4-F-Ph)
4-MeO-Ph	CH_2Ph	$CH_2CH_2OCH_2CH=CH_2$	$N(CH_3)_2$
$CH_2CH_2CH_2NHCH_3$	$CH_2CH_2NO_2$	$NHCH_2CH_2CH_3$	2-CN-Ph
2,4-diCl-Ph	2,4,6-triF-Ph	4-CF_3-Ph	$CH_2CH_2CH_2Ph$
(2-THF)CH_2	cyclopropylmethyl	CH_2CN	4-Cl-Ph

TABLE 5

Compounds of Formula I wherein Q = O, R^1 = (2-THF)CH_2, W = CH_2O, R^3 = 6-I, R^4 = H and p = 0.

R^2	R^2	R^2	R^2
Et	*n*-Pr	*i*-Pr	*n*-Bu
i-Bu	*s*-Bu	*n*-pentyl	*n*-hexyl
n-decyl	*c*-hexyl	2-propenyl	2-butenyl
3-butenyl	5-decenyl	2-propynyl	2-butynyl
3-butynyl	CF_3	CH_2CF_3	$CH_2CH=CHCl$
$CH_2C\equiv CBr$	CH_2OCH_3	$CH_2OCH_2CH_3$	$CH_2CH_2OCH_3$
CH_2SCH_3	$CH_2CH_2SCH_3$	$CH_2CH_2CH_2S(O)_2CH_3$	(*c*-pentyl)CH_2
2-Cl-Et	$CH_2CH_2OCH_2C\equiv CH$	$CH_2CH_2SCH_2CH=CH_2$	$CH_2CH_2CH_2CN$
$CH_2CH_2Si(CH_3)_3$	$CH_2CH_2OCF_3$	$CH_2CH_2SCH_2C\equiv CH$	$CH_2OCH_2CH_2Cl$

R^2	R^2	R^2	R^2
Ph	$CH_2CH_2CO_2Et$	$CH_2CH_2CH_2N(CH_3)_2$	CH_2CH_2(4-F-Ph)
4-MeO-Ph	CH_2Ph	$CH_2CH_2OCH_2CH{=}CH_2$	$N(CH_3)_2$
$CH_2CH_2CH_2NHCH_3$	$CH_2CH_2NO_2$	$NHCH_2CH_2CH_3$	2-CN-Ph
2,4-diCl-Ph	2,4,6-triF-Ph	4-CF_3-Ph	$CH_2CH_2CH_2Ph$
(2-THF)CH_2	cyclopropylmethyl	CH_2CN	4-Cl-Ph

TABLE 6

Compounds of Formula I wherein: Q = O, R^2 = Et, W = CH_2O, R^3 = 6-I, R^4 = H, p = 0 and R^1 = R^{11}.

R^{11}	R^8	R^9	R^{10}
CH_2(Y-96)*	-	-	-
$(CH_2)_2CH(CH_3)(CH_2)_2$(Y-96)	2-CH_3	3-CH_3	-
$(CH_2)_{10}$(Y-96)	3-$(CH_2)_5CH_3$	3-CH_3	-
CH_2(Y-97)	1-C_6F_5	3-CH_2CH_3	-
CH_2(Y-98)	-	-	-
CH_2(Y-99)	3-(4-Me-Ph)	2-OCH_3	-
CH_2(Y-100)	2-OCH_3	-	-
CH_2(Y-52)	-	-	-
$(CH_2)_5$(Y-52)	3-$O(CH_2)_5CH_3$	-	-
$(CH_2)_2CH(CH_3)(CH_2)_2$(Y-52)	4-CN	-	-
$(CH_2)_{10}$(Y-93)	3-CF_3	-	-
CH_2(Y-52)	4-$(CF_2)_5CF_3$	5-F	5-F
CH_2(Y-52)	3-Cl	4-CF_3	-
CH_2(Y-93)	2-C≡CH	4-SCH_3	-
CH_2(Y-3)	-	-	-
CH_2(Y-3)	4-C≡C$(CH_2)_5CH_3$	5-I	-
$(CH_2)_{10}$(Y-4)	4-SCH_3	5-Cl	-
CH_2(Y-2)	-	-	-
CH_2(Y-2)	3-OCF_3	-	-
CH_2(Y-2)	3-$O(CF_2)_5CF_3$	4-CH_3	-
CH_2(Y-1)	-	-	-
CH_2(Y-1)	-	-	5-Cl
$(CH_2)_5$(Y-5)	2-Br	4-Br	5-Br
CH_2(Y-16)	2-CH_3	3-CH_2CH_3	-
CH_2(Y-15)	3-Br	-	-
CH_2(Y-15)	-	3-C(=O)SCH_2CH_3	-
CH_2(Y-15)	-	3-C(=O)$N(CH_3)_2$	-

R^{11}	R^8	R^9	R^{10}
CH_2(Y-15)	-	3-C(=O)N(CH_2CH_3)$_2$	-
CH_2(Y-15)	3-$SCH_2CH_2CH_3$	-	-
(CH_2)$_{10}$(Y-15)	3-N(CH_3)$_2$	-	-
(CH_2)$_5$(Y-17)	3-N(CH_2CH_3)$_2$	5-CH_3	-
CH_2(Y-14)	3-Br	-	-
CH_2(Y-14)	-	3-C(=O)SCH_2CH_3	-
CH_2(Y-14)	-	3-C(=O)N(CH_3)$_2$	-
CH_2(Y-14)	-	3-C(=O)N(CH_2CH_3)$_2$	-
CH_2(Y-13)	3-$OCH_2CH_2CH_3$	5-CH_2CH_3	-
CH_2(Y-14)	3-$OCH_2CH_2CH_3$	4-CH_2CH_3	-
CH_2(Y-60)	2-(4-Cl-Ph)	5-C(=O)SCH_3	-
CH_2(Y-60)	2-(2,4-diBr-Ph)	5-C(=O)SCH_3	-
(CH_2)$_8$(Y-21)	2-(3-NO_2-Ph)	5-C(=O)SCH_3	-
CH_2(Y-11)	3-(2-CN-PhO)	-	-
CH_2(Y-91)	1-(4-CF_3-Ph)	-	-
(CH_2)$_4$(Y-27)	3-$CF_2CF_2CF_3$	-	-
CH_2(Y-37)	-	-	-
CH_2(Y-38)	-	-	-
(CH_2)$_7$(Y-38)	6-$SCCl_3$	5-Cl	2-Cl
CH_2(Y-39)	2-S(CF_2)$_5CF_3$	6-CF_3	-
CH_2(Y-44)	-	-	-
(CH_2)$_9$(Y-45)	2-F	5-F	6-F
CH_2(Y-46)	4-(C(=O)CH_3)	6-I	-
CH_2(Y-51)	3-C(CH_3)$_3$	-	-
CH_2(Y-51)	3-Ph	-	-
CH_2(Y-51)	3-[4-CF_3(CF_2)$_3$-Ph]	-	-
CH_2(Y-92)	5-CF_3	6-CF_3	-
(CH_2)CH(CH_3)CH_2(Y-66)	4-CH=CH_2	3-OCH_3	-
CH_2(Y-71)	1-I	3-Br	4-Cl
CH_2(Y-75)	-	-	-
CH_2(Y-75)	7-(4-CH_3O-Ph)	-	-
(CH_2)$_2$(Y-75)	4-(CH_2)$_4$CH=CH_2	2-SCH_3	-
CH_2(Y-85)	6-(CH_2)CH=CH(CF_3)	2-I	4-I
CH_2(Y-85)	-	-	-
CH_2(Y-85)	6-[4-CH_3(CH_2)$_3$O-Ph]	-	-
CH_2(Y-78)	5-CCl=CCl_2	-	-
CH_2(Y-78)	6-CF=CF(CF_2)$_3CH_3$	-	-

R^{11}	R^8	R^9	R^{10}
CH_2(Y-79)	2-CF_2CF=$CFCF_3$	-	-
CH_2(Y-87)	-	-	-
CH_2(Y-87)	7-Cl	5-Cl	3-Cl
CH_2(Y-89)	4-[4-$(CH_3)_3$C-Ph]	2-CH_3	-
CH_2(Y-54)	2-CH_3	2-CH_3	-
CH_2(Y-54)	2-Ph	-	-
CH_2(Y-95)	-	-	-
CH_2(Y-94)	-	-	-

* Y-1 to Y-100 are defined in Exhibit 1 of the Summary of the Invention.

TABLE 7

Compounds of Formula I wherein: Q = O, R^1 = propyl, W = CH_2O, R^3 = 6-I, R^4 = H, p = 0 and R^2 = R^{11}.

R^{11}	R^8	R^9	R^{10}
CH_2(Y-96)*	-	-	-
$(CH_2)_2CH(CH_3)(CH_2)_2$(Y-96)	2-CH_3	3-CH_3	-
$(CH_2)_{10}$(Y-96)	3-$(CH_2)_5CH_3$	3-CH_3	-
CH_2(Y-97)	1-C_6F_5	3-CH_2CH_3	-
CH_2(Y-98)	-	-	-
CH_2(Y-99)	3-(4-Me-Ph)	2-OCH_3	-
CH_2(Y-100)	2-OCH_3	-	-
CH_2(Y-52)	-	-	-
$(CH_2)_5$(Y-52)	3-$O(CH_2)_5CH_3$	-	-
$(CH_2)_2CH(CH_3)(CH_2)_2$(Y-52)	4-CN	-	-
$(CH_2)_{10}$(Y-93)	3-CF_3	-	-
CH_2(Y-52)	4-$(CF_2)_5CF_3$	5-F	5-F
CH_2(Y-52)	3-Cl	4-CF_3	-
CH_2(Y-93)	2-C≡CH	4-SCH_3	-
CH_2(Y-3)	-	-	-
CH_2(Y-3)	4-C≡C$(CH_2)_5CH_3$	5-I	-
$(CH_2)_{10}$(Y-4)	4-SCH_3	5-Cl	-
CH_2(Y-2)	-	-	-
CH_2(Y-2)	3-OCF_3	-	-
CH_2(Y-2)	3-$O(CF_2)_5CF_3$	4-CH_3	-
CH_2(Y-1)	-	-	-
CH_2(Y-1)	-	-	5-Cl

R^{11}	R^8	R^9	R^{10}
$(CH_2)_5$(Y-5)	2-Br	4-Br	5-Br
CH_2(Y-16)	2-CH_3	3-CH_2CH_3	-
CH_2(Y-15)	3-Br	-	-
CH_2(Y-15)	-	3-C(=O)SCH_2CH_3	-
CH_2(Y-15)	-	3-C(=O)$N(CH_3)_2$	-
CH_2(Y-15)	-	3-C(=O)$N(CH_2CH_3)_2$	-
CH_2(Y-15)	3-$SCH_2CH_2CH_3$	-	-
$(CH_2)_{10}$(Y-15)	3-$N(CH_3)_2$	-	-
$(CH_2)_5$(Y-17)	3-$N(CH_2CH_3)_2$	5-CH_3	-
CH_2(Y-14)	3-Br	-	-
CH_2(Y-14)	-	3-C(=O)SCH_2CH_3	-
CH_2(Y-14)	-	3-C(=O)$N(CH_3)_2$	-
CH_2(Y-14)	-	3-C(=O)$N(CH_2CH_3)_2$	-
CH_2(Y-13)	3-$OCH_2CH_2CH_3$	5-CH_2CH_3	-
CH_2(Y-14)	3-$OCH_2CH_2CH_3$	4-CH_2CH_3	-
CH_2(Y-60)	2-(4-Cl-Ph)	5-C(=O)SCH_3	-
CH_2(Y-60)	2-(2,4-diBr-Ph)	5-C(=O)SCH_3	-
$(CH_2)_8$(Y-21)	2-(3-NO_2-Ph)	5-C(=O)SCH_3	-
CH_2(Y-11)	3-(2-CN-PhO)	-	-
CH_2(Y-91)	1-(4-CF_3-Ph)	-	-
$(CH_2)_4$(Y-27)	3-$CF_2CF_2CF_3$	-	-
CH_2(Y-37)	-	-	-
CH_2(Y-38)	-	-	-
$(CH_2)_7$(Y-38)	6-$SCCl_3$	5-Cl	2-Cl
CH_2(Y-39)	2-$S(CF_2)_5CF_3$	6-CF_3	-
CH_2(Y-44)	-	-	-
$(CH_2)_9$(Y-45)	2-F	5-F	6-F
CH_2(Y-46)	4-(C(O)CH_3)	6-I	-
CH_2(Y-51)	3-$C(CH_3)_3$	-	-
CH_2(Y-51)	3-Ph	-	-
CH_2(Y-51)	3-[4-$CF_3(CF_2)_3$-Ph]	-	-
CH_2(Y-92)	5-CF_3	6-CF_3	-
$(CH_2)CH(CH_3)CH_2$(Y-66)	4-$CH=CH_2$	3-OCH_3	-
CH_2(Y-71)	1-I	3-Br	4-Cl
CH_2(Y-75)	-	-	-
CH_2(Y-75)	7-(4-CH_3O-Ph)	-	-
$(CH_2)_2$(Y-75)	4-$(CH_2)_4CH=CH_2$	2-SCH_3	-

R^{11}	R^8	R^9	R^{10}
CH_2(Y-85)	6-(CH_2)CH=CH(CF_3)	2-I	4-I
CH_2(Y-85)	-	-	-
CH_2(Y-85)	6-[4-$CH_3(CH_2)_3$O-Ph]	-	-
CH_2(Y-78)	5-CCl=CCl_2	-	-
CH_2(Y-78)	6-CF=CF$(CF_2)_3CH_3$	-	-
CH_2(Y-79)	2-CF_2CF=$CFCF_3$	-	-
CH_2(Y-87)	-	-	-
CH_2(Y-87)	7-Cl	5-Cl	3-Cl
CH_2(Y-89)	4-[4-$(CH_3)_3$C-Ph]	2-CH_3	-
CH_2(Y-54)	2-CH_3	2-CH_3	-
CH_2(Y-54)	2-Ph	-	-
CH_2(Y-95)	-	-	-
CH_2(Y-94)	-	-	-

* Y-1 to Y-100 are defined in Exhibit 1 of the Summary of the Invention

TABLE 8

Compounds of Formula I where Q = O, R^1 = propyl, R^2 = Et, R^3 = 6-I, R^4 = H and p = 0.

W	W	W	W	W
CH_2S	OC(=S)O	CH_2SO	NHC(=O)NH	CH_2SO_2
NHC(=S)NH	CH_2NMe	NHC(=O)O	CH_2NBu	NHC(=S)O
CH_2NCO_2Et	OC(=O)NH	C(=O)	OC(=S)NH	C(=O)O
C(=O)NH	C(=S)O	C(=S)NH	OC(=O)	NHC(=O)
OC(=O)O	NHC(=S)			

TABLE 9

Compounds of Formula I wherein: Q = O, R^1 = propyl, R^3 = 6-I, R^4 = H, p = 0 and W is a direct bond.

R^2	R^2	R^2	R^2
Et	*n*-Pr	*i*-Pr	*n*-Bu
i-Bu	*s*-Bu	*n*-pentyl	*n*-hexyl
n-decyl	*c*-hexyl	2-propenyl	2-butenyl
3-butenyl	5-decenyl	2-propynyl	2-butynyl
3-butynyl	CF_3	CH_2CF_3	CH_2CH=CHCl
CH_2C≡CBr	CH_2OCH_3	$CH_2OCH_2CH_3$	$CH_2CH_2OCH_3$
CH_2SO_2Me	$CH_2CH_2SCH_3$	$CH_2CH_2CH_2S(O)_2CH_3$	(*c*-pentyl)CH_2
(4-morpholinyl)methyl	$CH_2CH_2OCH_2$C≡CH	$CH_2CH_2SCH_2$CH=CH_2	$CH_2CH_2CH_2$CN
$CH_2CH_2Si(CH_3)_3$	$CH_2CH_2OCF_3$	$CH_2CH_2SCH_2$C≡CH	$CH_2OCH_2CH_2$Cl

R^2	R^2	R^2	R^2
Ph	$CH_2CH_2CO_2Et$	$CH_2CH_2CH_2N(CH_3)_2$	CH_2CH_2(4-F-Ph)
4-MeO-Ph	CH_2Ph	$CH_2CH_2OCH_2CH{=}CH_2$	CH_2NHPropyl
$CH_2CH_2CH_2NHCH_3$	$CH_2CH_2NO_2$	CH_2Cl	2-CN-Ph
2,4-diCl-Ph	2,4,6-triF-Ph	4-CF_3-Ph	$CH_2CH_2CH_2Ph$
(2-THF)CH_2	cyclopropylmethyl	CH_2CN	4-Cl-Ph
$CH_2OP(=O)(OEt)_2$	$OP(=O)(OMe)_2$	$OS(O)_2CF_3$	$OS(O)_2OCF_2CF_3$
$CH_2OP(=S)(OEt)_2$	$SP(=O)(OMe)_2$	$OS(O)_2Me$	$OS(O)_2OMe$
$CH_2P(=O)(OEt)_2$	$OP(=S)(OEt)_2$	$OS(O)_2Et$	$OS(O)_2OEt$
$CH_2P(=O)(OMe)_2$	$SP(=S)(OEt)_2$	OS(O)Ph	SCN

TABLE 10

Compounds of Formula I wherein: Q = O, R^1 = propyl, W = CH_2O and R^2 = Et

R^3	R^4	$(R^{19})_p$	R^3	R^4	$(R^{19})_p$
6-Cl	H	H	6-CF_3CO_2	H	H
6-Br	8-Me	H	6-$(CH_3)_2NC(=S)O$	H	H
6-I	8-Br	H	6-CF_2HO	H	H
6-Cl	8-Cl	H	6-NH_2	H	H
6-Br	8-Cl	H	6-Me	H	H
6-I	8-I	H	6-Et	8-Br	H
6-C≡CH	H	H	6-MeO	H	H
6-C≡CH	8-Br	H	6-MeS	8-MeO	H
H	H	H	6-$SCH_2CH{=}CH_2$	H	H
6-CF_3	H	H	6-$S(O)_2Me$	H	H
6-CH_2Br	H	H	6-Br	8-CF_3	H
6-CH=CHBr	H	H	6-$CH_2C{\equiv}CH$	H	H
6-CH_3CH_2	H	H	6-Br	7-Br	H
5-F	6-F	7,8-diF	6-$CH_2CH{=}CH_2$	H	H
6-F	H	H	6-Br	5-Me	H
6-S-C≡N	8-SC≡N	H	6-$(CH_3)_2CH$	H	H
6-(2-Cl-4-OMe-Ph)	H	H	6-(4-CF_3-Ph)	H	H
6-I	8-Me	H	6-*i*-Pr	H	H
6-S-C≡N	H	H	6-Br	8-OCF_3	H
6-CF_2HS-	H	H	6-CF_3O	H	H
6-Me_3Si	8-Br	H	6-$CH{=}CH_2$	H	H
6-Me_2N	H	H	6-Br	7-Me	H

R^3	R^4	$(R^{19})_p$		R^3	R^4	$(R^{19})_p$
6-EtNH	H	H		6-Br	5-Br	H
6-Br	8-Me	H		8-Br	H	H
6-Br	8-Et	H		6-Me	8-Br	H

TABLE 11

Compounds of Formula I wherein: Q = O, W = O, R^1 = propyl, R^2 = propyl, R^4 = H, p = 0 and R^3 = R^{14}

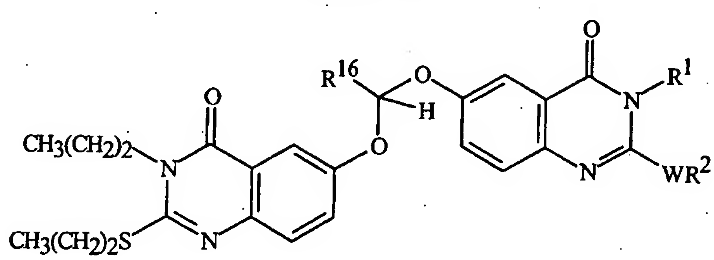
R^{14}	R^{14}	R^{14}
6-OH	6-(4-Cl-2-thienyl)	6-SH
6-(5-CF_3-3-benzofuranyl)	6-CN	6-(benzo[b]thiophen-2-yl)
6-$SCHF_2$	6-(2-quinolinyl)	6-SCF_3
6-(4-CO_2Me-2-quinolinyl)	6-$SOCHF_2$	6-O(O=)COMe
6-$SOCF_3$	6-S(O=)COC_4H_9	6-SO_2CHF_2
6-MeN(O=)COPh	6-SO_2CF_3	6-O(S=)COEt
6-SCN	6-S(S=)$COCF_3$	6-SF_5
6-O(O=)CSPr	6-(O=)CMe	6-O(S=)CS(3-ClPh)
6-(S=)CC_4H_9	6-S(S=)CSC_4H_9	6-(O=)CPh
6-HN(O=)CSMe	6-O(O=)CMe	6-HN(S=)CSC_4F_9
6-S(S=)CC_4F_9	6-(PhN(S=)CO(4-ClPh))	6-O(S=)CPh
6-O(O=)CNPh(Me)	6-S(O=)C(3-ClPh)	6-O(S=)$CNMe_2$
6-(O=)COMe	6-S(S=)$CNMe_2$	6-(S=)CSC_4F_9
6-S(O=)$CNMe_2$	6-(O=)CSPh	6-O(O=)$CNHC_4F_9$
6-(S=)CO(3-ClPh)	6-O(S=)$CNHCF_3$	6-O(O=)CCF_3
6-HN(O=)$CN(Pr)_2$	6-HN(O=)CC_4F_9	6-(i-Pr)N(S=)CNHPh
6-HN(S=)CPh	6-HN(O=)CNH_2	6-(O=)CNHMe
6-HN(S=)$CNMe_2$	6-(2-pyridinyl)	6-S(O=)CC_3F_7
6-(3-furanyl)	6-OC_6H_5	6-O(O=)$P(OEt)_2$
6-SC_6H_5	6-O(S=)$P(OEt)_2$	6-C≡CC_6H_5
6-O(O=)$P(OMe)_2$	6-CH=CHCN	6-O(S=)$P(OMe)_2$
6-CH=$CHCO_2$Me	6-OSO_2CF_3	6-NCS
6-$B(OH)_2$		

TABLE 12

Compounds of Formula I wherein: Q = O, W = S, R^1 = propyl, R^2 = propyl, R^4 = H, p = 0 and R^3 = R^{14}

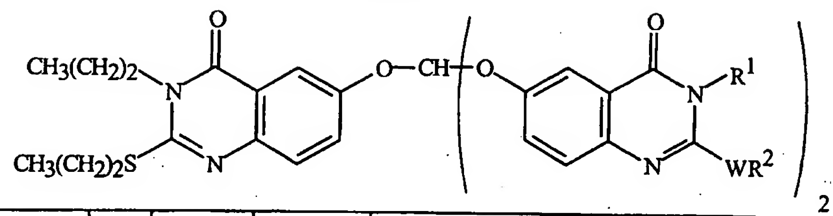
R^{14}	R^{14}	R^{14}
6-OH	6-(4-Cl-2-thienyl)	6-SH
6-(5-CF_3-3-benzofuranyl)	6-CN	6-(benzo[b]thiophen-2-yl)
6-$SCHF_2$	6-(2-quinolinyl)	6-SCF_3
6-(4-CO_2Me-2-quinolinyl)	6-$SOCHF_2$	6-O(O=)COMe
6-$SOCF_3$	6-S(O=)COC_4H_9	6-SO_2CHF_2
6-MeN(O=)COPh	6-SO_2CF_3	6-O(S=)COEt
6-SCN	6-S(S=)$COCF_3$	6-SF_5
6-O(O=)CSPr	6-(O=)CMe	6-O(S=)CS(3-ClPh)
6-(S=)CC_4H_9	6-S(S=)CSC_4H_9	6-(O=)CPh
6-HN(O=)CSMe	6-O(O=)CMe	6-HN(S=)CSC_4F_9
6-S(S=)CC_4F_9	6-(PhN(S=)CO(4-ClPh))	6-O(S=)CPh
6-O(O=)CNPh(Me)	6-S(O=)C(3-Cl-Ph)	6-O(S=)$CNMe_2$
6-(O=)COMe	6-S(S=)$CNMe_2$	6-(S=)CSC_4F_9
6-S(O=)$CNMe_2$	6-(O=)CSPh	6-O(O=)$CNHC_4F_9$
6-(S=)CO(3-Cl-Ph)	6-O(S=)$CNHCF_3$	6-O(O=)CCF_3
6-HN(O=)$CN(Pr)_2$	6-HN(O=)CC_4F_9	6-(i-Pr)N(S=)CNHPh
6-HN(S=)CPh	6-HN(O=)CNH_2	6-(O=)CNHMe
6-HN(S=)$CNMe_2$	6-(2-pyridinyl)	6-S(O=)CC_3F_7
6-(3-furanyl)	6-$B(OH)_2$	

TABLE 13



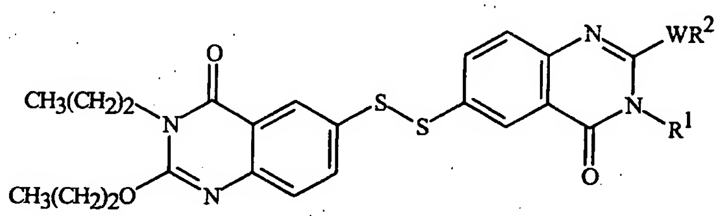
R^1	W	R^2	R^{16}		R^1	W	R^2	R^{16}
propyl	O	propyl	F		propyl	S	propyl	Cl
propyl	O	propyl	Cl		cyclopropylmethyl	S	propyl	F
cyclopropylmethyl	O	propyl	F		(2-THF)CH_2	S	propyl	F
(2-THF)CH_2	O	propyl	F		(2-THF)CH_2	S	propyl	F
propyl	S	propyl	F		propyl	S	propyl	H

TABLE 14



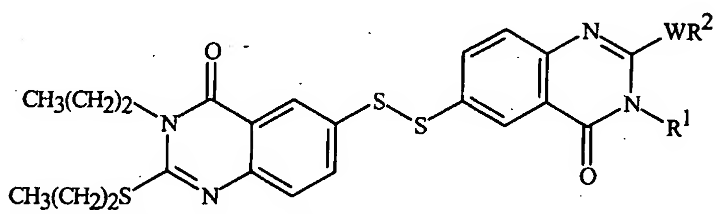
R1	W	R2		R1	W	R2
propyl	O	propyl		cyclopropylmethyl	S	propyl
propyl	S	propyl		(2-THF)CH_2	O	propyl
cyclopropylmethyl	O	propyl		(2-THF)CH_2	S	propyl

TABLE 15



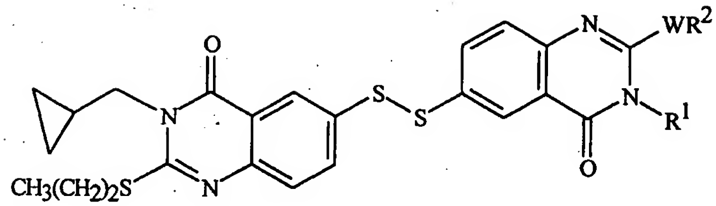
R1	W	R2		R1	W	R2
propyl	O	propyl		cyclopropylmethyl	S	propyl
propyl	S	propyl		(2-THF)CH_2	O	propyl
cyclopropylmethyl	O	propyl		(2-THF)CH_2	S	propyl

TABLE 16



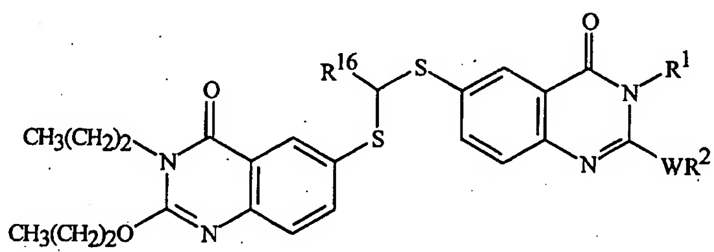
R1	W	R2		R1	W	R2
propyl	O	propyl		cyclopropylmethyl	S	propyl
propyl	S	propyl		(2-THF)CH_2	O	propyl
cyclopropylmethyl	O	propyl		(2-THF)CH_2	S	propyl

TABLE 17



R^1	W	R^2		R^1	W	R^2
propyl	O	propyl		cyclopropylmethyl	S	propyl
propyl	S	propyl		(2-THF)CH_2	O	propyl
cyclopropylmethyl	O	propyl		(2-THF)CH_2	S	propyl

TABLE 18



R^1	W	R^2	R^{16}		R^1	W	R^2	R^{16}
propyl	O	propyl	F		propyl	S	propyl	Cl
propyl	O	propyl	Cl		cyclopropylmethyl	S	propyl	F
cyclopropylmethyl	O	propyl	F		(2-THF)CH_2	S	propyl	F
(2-THF)CH_2	O	propyl	F		(2-THF)CH_2	S	propyl	F
propyl	S	propyl	F		propyl	O	propyl	H

TABLE 19

Compounds of Formula I wherein: Q = O, R^4 = H and p = 0.

		1	2	3	4	5
W = direct bond, R^1 = Bu, R^2 = Me	R^3=	6-I	6-Br	6-Cl	6-F	6-(OCF_2H)
W = direct bond, R^1 = Pr, R^2 = Pr	R^3=	6-(SCF_2H)	6-Br	6-Cl	6-F	6-(OCF_2H)
W = direct bond, R^1 = (2-THF)CH_2, R^2 = CH_2Cl	R^3=	6-I	6-Br	6-Cl	6-F	6-(OCF_2H)
W = direct bond, R^1 = cyclopropylmethyl, R^2 = CH_2Cl	R^3=	6-I	6-Br	6-Cl	6-F	6-(OCF_2H)
W = O, R^1 = Pr, R^2 = 3-oxetanyl	R^3=	6-I	6-Br	6-Cl	6-F	6-(OCF_2H)

		1	2	3	4	5
W = S, R^1 = Pr, R^2 = 3-oxetanyl	R^3=	6-I	6-Br	6-Cl	6-F	6-(OCF_2H)
W = NH, R^1 = Pr, R^2 = 3-oxetanyl	R^3=	6-I	6-Br	6-Cl	6-F	6-(OCF_2H)

TABLE 20

Compounds of Formula I wherein: Q = O, W is a direct bond, R^2 = OH and p = 0.

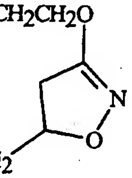
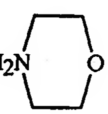
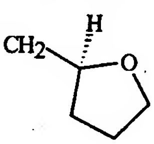
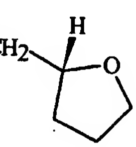
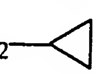
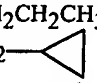
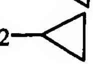
			1	2	3	4	5
$R^1 = CH_2CH=CH_2$	R^3 = 6-Cl	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2C{\equiv}CH$	R^3 = 6-Cl	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2Ph$	R^3 = 6-Cl	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2CH_2CH_3$	R^3 = 6-Cl	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2CH_2CH_3$	R^3 =H	R^4=	8-Me	6-Cl	6-Br	6-F	6-I
$R^1 = CH_2CH_2CH_3$	R^3 = 6-Me	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2CH_2CH_3$	R^3 =6-F	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2CH(Me)_2$	R^3 = 6-Br	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2CH_2CH_3$	R^3 = 6-Br	R^4=	H	8-Cl	8-Br	8-F	8-I
$CH_3CH_2CH_2O$  $R^1 = CH_2$	R^3 =6-Br	R^4=	H	8-Cl	8-Br	8-F	8-I
$R_1 = CH_2CH_2N$ 	R^3 =6-Br	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2CH_2CH_3$	R^3 = 6-I	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2$ 	R^3 = 6-I	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2$ 	R^3 = 6-I	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2$ 	R^3 = 6-I	R^4=	H	8-Cl	8-Br	8-F	8-I

TABLE 21

Compounds of Formula I wherein: Q = O, W is a direct bond, R^2 = Cl and p = 0.

		1	2	3	4	5
$R^1 = CH_2CH = CH_2$, R^3 = 6-Cl	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2CH = CH_2$, R^3 = 6-Br	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_3$, R^3 = 6-Cl	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = (CH_2)_3CH_3$, R^3 = 6-Cl	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2CH_2CH_3$, R^3 = 6-Cl	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2CH_2CH_3$, R^3 = 6-Br	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2CH_2CH_3$, R^3 = 6-I	R^4=	H	8-Cl	8-Br	8-F	8-I
$R^1 = CH_2$—  , R^3 = 6-I	R^4=	H	6-Cl	6-Br	6-F	6-I
$R^1 = CH_2$—  , R^3 = 6-Br	R^4=	H	6-Cl	6-Br	6-F	6-I
$R^1 = CH_2$(2-THF), R^3 = 6-I	R^4=	H	6-Cl	6-Br	6-F	6-I
$R^1 = CH_2$(2-THF), R^3 = 6-Br	R^4=	H	6-Cl	6-Br	6-F	6-I

Formulation/Utility

Compounds of Formula I used in this invention will generally be used as a formulation or composition with an agriculturally suitable carrier comprising at least one of a liquid diluent, a solid diluent or a surfactant. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Useful formulations include liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further include solids such as dusts, powders, granules, pellets, tablets, films, and the like which can be water-dispersible ("wettable") or water-soluble. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further formulation.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

	Weight Percent		
	Active Ingredient	Diluent	Surfactant
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	5–90	0–94	1–15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5–50	40–95	0–15
Dusts	1–25	70–99	0–5
Granules and Pellets	0.01–99	5–99.99	0–15
High Strength Compositions	90–99	0–10	0–2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins, alkylbenzenes, alkylnaphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147–48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following,

and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-C.

Example A

Wettable Powder

Compound 25	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%.

Example B

Granule

Compound 25	10.0%
attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%.

Example C

Extruded Pellet

Compound 25	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%.

Example D

Emulsifiable Concentrate

Compound 25	20.0%
blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
isophorone	70.0%.

The compounds of Formula I are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof to be protected, or to the plant seed or seedling to be protected, an effective amount of a compound of the invention or a fungicidal composition containing said compound. The compounds and compositions of this invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, vegetable, field, cereal, and fruit crops. These pathogens include *Plasmopara viticola, Phytophthora infestans, Peronospora tabacina, Pseudoperonospora cubensis, Pythium aphanidermatum, Alternaria brassicae, Septoria nodorum, Septoria tritici, Cercosporidium personatum, Cercospora arachidicola, Pseudocercosporella herpotrichoides, Cercospora beticola, Botrytis cinerea, Monilinia fructicola, Pyricularia oryzae, Podosphaera leucotricha, Venturia inaequalis, Erysiphe graminis, Uncinula necatur, Puccinia recondita, Puccinia graminis, Hemileia vastatrix, Puccinia striiformis, Puccinia arachidis, Rhizoctonia solani, Sphaerotheca fuliginea, Fusarium oxysporum, Verticillium dahliae, Pythium aphanidermatum, Phytophthora megasperma, Sclerotinia sclerotiorum, Sclerotium rolfsii, Erysiphe polygoni, Pyrenophora teres, Gaeumannomyces graminis, Rynchosporium secalis, Fusarium roseum, Bremia lactucae* and other generea and species closely related to these pathogens.

Compounds of Formula I can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorfenapyr, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[*N*-(methoxycarbonyl)-*N*-[4-

(trifluoromethoxy)phenyl]amino]carbonyl]indeno[1,2-*e*][1,3,4]oxadiazine-4a(3*H*)-carboxylate (DPX-JW062), monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as azoxystrobin, benomyl, blasticidin-S, Bordeaux mixture (tribasic copper sulfate), bromuconazole, captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417), diclomezine, dicloran, difenoconazole, dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), famoxadone, fenarimol, fenbuconazole, fenpiclonil, fenpropidin, fenpropimorph, fluazinam, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, maneb, mepronil, metalaxyl, metconazole, *S*-methyl 7-benzothiazolecarbothioate (CGA 245704), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron, probenazole, prochloraz, propiconazole, pyrifenox, pyroquilon, quinoxyfen, spiroxamine (KWG4168), sulfur, tebuconazole, tetraconazole, thiabendazole, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, triticonazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

In certain instances, combinations with other fungicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Preferred for better control of plant diseases caused by fungal plant pathogens (e.g., lower use rate or broader spectrum of plant pathogens controlled) or resistance management are mixtures of a compound of this invention with a fungicide selected from the group: flusilazole, epoxiconazole, fenpropimorph, fenpropidin, azoxystrobin, kresoxim methyl, benomyl, mancozeb and cymoxanil.

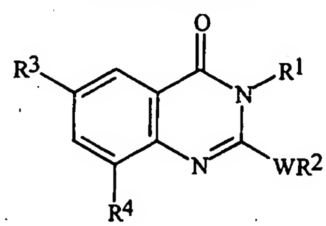
Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

Rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be

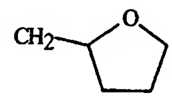
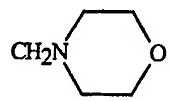
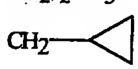
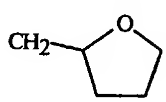
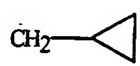
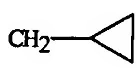
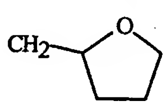
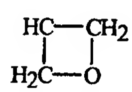
protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

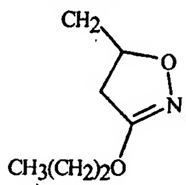
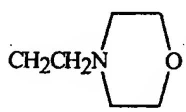
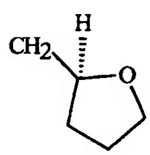
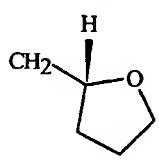
The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens. The pathogen control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-C for compound descriptions. The following abbreviations are used in the Index Tables which follow: H = hydrogen, O = oxygen, N = nitrogen, S = sulfur, F = fluorine, Cl = chlorine, Br = bromine, I = iodine, Ph = phenyl, OH = hydroxy and SCN = thiocyanato. The abbreviation "d" indicates that the compound appeared to decompose on melting. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

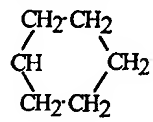
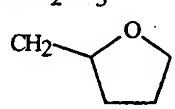
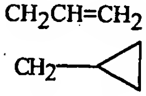
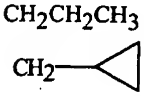
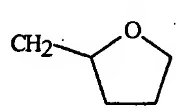
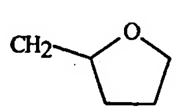
INDEX TABLE A

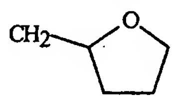
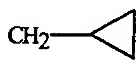


Cmpd No. (Ex.)	R^1	W	R^2	R^3	R^4	mp (°C)
1	$(CH_2)_2CH_3$	direct bond	$(CH_2)_2CH_3$	Br	H	79-84
3 (Ex. 4B)	$(CH_2)_2CH_3$	S	$(CH_2)_2CH_3$	OH	H	155-157
7	$(CH_2)_2CH_3$	direct bond	$(CH_2)_2CH_3$	I	H	95-97
8 (Ex. 5C)	$(CH_2)_2CH_3$	S	$(CH_2)_2CH_3$	$SCHF_2$	H	65-68
9 (Ex. 5C)	$(CH_2)_2CH_3$	O	$(CH_2)_2CH_3$	$SCHF_2$	H	51-55
10 (Ex. 5A)	$(CH_2)_2CH_3$	S	$(CH_2)_2CH_3$	$OC(=S)N(CH_3)_2$	H	84-87
11 (Ex. 5B)	$(CH_2)_2CH_3$	S	$(CH_2)_2CH_3$	$SC(=O)N(CH_3)_2$	H	77-79
12	$(CH_2)_3CH_3$	direct bond	CH_3	I	H	71-72

Cmpd No. (Ex.)	R^1	W	R^2	R^3	R^4	mp (°C)
13 (Ex. 2D)	$(CH_2)_2CH_3$	CH_2O	CH_2CH_3	I	H	99-102
14 (Ex. 2C)	$(CH_2)_2CH_3$	direct bond	CH_2Cl	I	H	140-144
15	$(CH_2)_2CH_3$	CH_2O		I	H	63-66
16 (Ex. 3)	$(CH_2)_2CH_3$	O	$(CH_2)_2CH_3$	SCN	H	117-120
17	$(CH_2)_2CH_3$	direct bond		I	H	124-127
18	$(CH_2)_2CH_3$	CH_2SO_2	CH_3	I	H	193-195
19	$(CH_2)_2CH_3$	CH_2NH	$(CH_2)_2CH_3$	I	H	oil*
20		CH_2O	CH_2CH_3	I	H	oil*
21		CH_2O	CH_2CH_3	I	H	92-96
22	$(CH_2)_2CH_3$	CH_2O		I	H	74-80
23		direct bond	CH_2Cl	I	H	135-138
24		direct bond	CH_2Cl	I	H	110-115
25	$(CH_2)_2CH_3$	O		I	H	109-111
29	$(CH_2)_2CH_3$	O	$(CH_2)_2CH_3$	OH	H	128-132
32	$(CH_2)_2CH_3$	OC(=O)	2-NH_2-5-I-Ph	I	H	184-185 d
44	$CH_2CH_2CH_3$	O	$CH_2CH_2CH_3$	CO_2CH_3	H	91-93
45	$CH_2CH{=}CH_2$	direct bond	OH	Cl	H	230-232
47	CH_2-C≡CH	direct bond	OH	Cl	H	242-245 d
48	$CH_2CH_2CH_3$	direct bond	OH	Cl	H	240-242
49	$CH_2CH_2CH_3$	direct bond	OH	H	Me	>230*

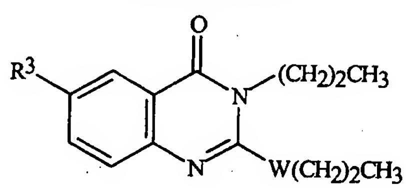
Cmpd No. (Ex.)	R^1	W	R^2	R^3	R^4	mp (°C)
50	$CH_2CH_2CH_3$	direct bond	OH	Me	H	>220*
53	$CH_2CH(CH_3)_2$	direct bond	OH	Br	H	250-253
54	$CH_2CH_2CH_3$	direct bond	OH	Br	H	>210*
55		direct bond	OH	Br	H	206-208
56		direct bond	OH	Br	H	208-211
57 (Ex. 8)	$CH_2CH_2CH_3$	direct bond	OH	I	H	>220*
58		direct bond	OH	I	H	195-197
59		direct bond	OH	I	H	195-197
60	$CH_2CH=CH_2$	direct bond	Cl	Cl	H	93-95
61	$CH_2CH=CH_2$	direct bond	Cl	Br	H	79-81
62	CH_3	direct bond	Cl	Cl	H	152-154
64	$(CH_2)_3CH_3$	direct bond	Cl	Cl	H	62-64
65	$CH_2CH_2CH_3$	direct bond	Cl	Cl	H	236-240
66	$CH_2CH_2CH_3$	direct bond	Cl	Br	H	110-116
67 (Ex. 7)	$CH_2CH_2CH_3$	direct bond	Cl	I	H	98-100
68	$CH_2CH_2CH_3$	direct bond	Cl	I	I	170-173
75	$CH_2CH_2CH_3$	direct bond	OH	I	I	>230*
80	CH_2Ph	direct bond	OH	Cl	H	>250*
81	$CH_2CH_2CH_3$	NHC(=O)	CF_3	Cl	H	172-174
83	$CH_2CH_2CH_3$	direct bond	$CH(CO_2CH_3)_2$	Cl	H	138-142
84	$CH_2CH_2CH_3$	direct bond	$CH(CN)_2$	Cl	H	256-260

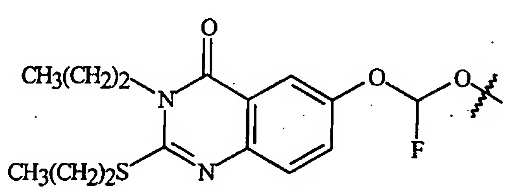
Cmpd No. (Ex.)	R^1	W	R^2	R^3	R^4	mp (°C)
85	$CH_2CH_2CH_3$	direct bond	$CH(CN)CO_2CH_3$	Cl	H	214-215
86	$(CH_2)_3CH_3$	direct bond	OH	Cl	Cl	202-205
88		direct bond	OH	Cl	H	256-258
90	$CH_2CH{=}CH_2$	direct bond	OH	Br	H	231.5-232.5
91	CH_2CH_3	direct bond	OH	Cl	H	220-222
93	$CH_2CH{=}CH_2$	direct bond	Cl	Br	H	79-81
94	CH_3	direct bond	OH	Br	H	>280
95	CH_3	direct bond	OH	Cl	H	267-268
96	CH_3	direct bond	OH	I	H	>300
100	$(CH_2)_3CH_3$	direct bond	OH	Cl	H	193-195
101	OCH_2CH_3	direct bond	CH_2Cl	Cl	H	156-158
102	$CH(CH_3)_2$	direct bond	CF_3	Cl	H	91-93
106	OCH_2CH_3	direct bond	$(CH_2)_3CH_3$	Br	H	86-88
107	OCH_2CH_3	direct bond	CH_2CH_3	Br	H	104-107
108	OCH_2CH_3	direct bond	$CH_2CH_2CH_3$	Br	H	83-86
109	OCH_2CH_3	direct bond	Ph	Br	H	128-130
111		direct bond	Cl	I	I	150-155
112	$CH_2CH{=}CH_2$	NHC(=O)	OCH_2CH_3	Cl	H	157-159
113		direct bond	OH	I	H	261-264
114	$CH_2CH_2CH_3$	direct bond	$CH(CH_2CH_3)CH_2CH_2CH_3$	I	H	*
115		direct bond	Cl	I	H	235-240
116		direct bond	Cl	I	H	215-220
117		direct bond	OH	I	H	233-235
118	$CH_2CH_2CH_3$	O	$CH_2CH_2CH_2OH$	I	H	128-130

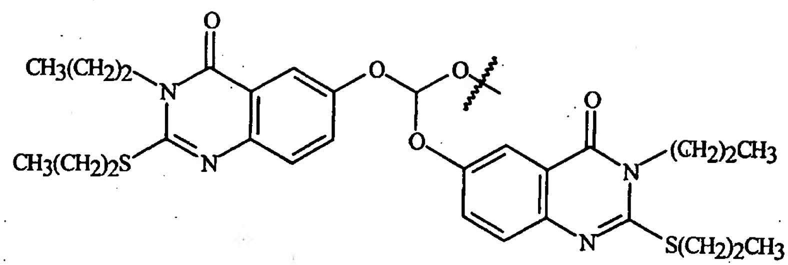
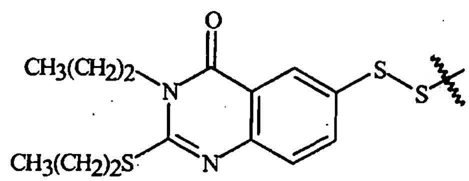
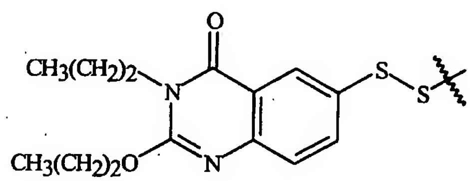
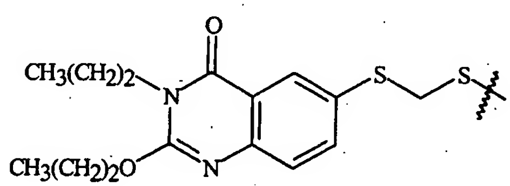
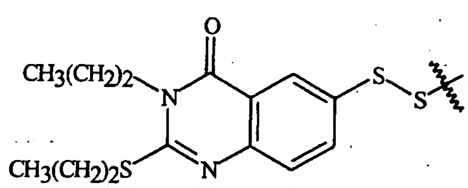
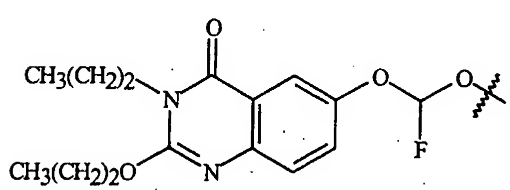
Cmpd No. (Ex.)	R^1	W	R^2	R^3	R^4	mp (°C)
119	$CH_2CH(OH)CH_3$	direct bond	OH	I	H	238-240
120	$CH_2CH_2CH_2OH$	direct bond	OH	I	H	212-215
121	$CH_2CH_2CH_3$	O	$CH_2CH(OH)CH_2OH$	I	H	109-110
122	$CH_2CH(OH)CH_3$	O	$CH_2CH(OH)CH_3$	I	H	137-139
123		direct bond	OH	I	I	219-221
124		direct bond	Cl	I	I	235-237
125	$CH_2CH(OH)CH_3$	O	$CH_2CH_2CH_3$	I	H	103-105
126	$CH_2CH_2CH_2OH$	O	$CH_2CH_2CH_3$	I	H	78-80
127	$CH_2CH{=}CH_2$	NHC(=O)	CH_3	Cl	H	184-185.5
128	$OC(=O)OCH_3$	direct bond	$CH(CH_3)_2$	Cl	H	90-93
129	CH_2Ph	direct bond	OH	CO_2CH_3	H	100-102
130	$CH_2CH{=}CH_2$	direct bond	OH	I	H	239-240
131	OCH_2CH_3	direct bond	OH	Br	H	243-245

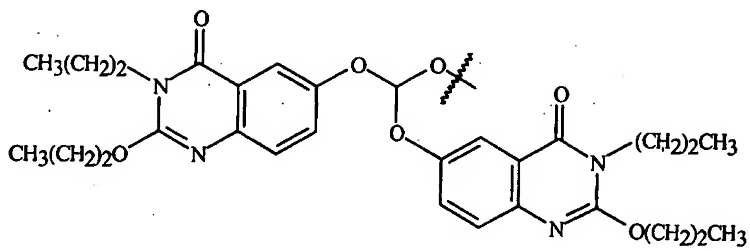
*See Index Table C for ^{1}H NMR data.

INDEX TABLE B



Cmpd No. (Ex.)	W	R^3	mp (°C)
33 (Ex. 4C)	S		125-133

Cmpd No. (Ex.)	W	R^3	mp (°C)
34 (Ex. 4C)	S		115-122
35 (Ex. 5C)	O		*
36 (Ex. 5C)	O		*
37 (Ex. 5C)	O		*
38 (Ex. 6B)	S		115-119
132	O		oil*

Cmpd No. (Ex.)	W	R^3	mp (°C)
133	O		oil*

*See Index Table C for ^1H NMR data.

INDEX TABLE C

Cmpd No.	^1H NMR Data ($CDCl_3$ solution unless indicated otherwise)[a]
19	δ 1.00 (t,6H), 1.62 (m,2H), 1.76 (m,2H), 2.20 (br s, 1H), 2.72 (t,2H), 3.90 (s,2H), 4.05 (t,2H), 7.38 (d,1H), 7.95 (dd,1H), 8.60 (d,1H) and m/e 386 (APCI)$^+$
20	δ 0.55 (m,4H), 1.25 (m,4H), 3.64 (q,2H), 4.18 (d,2H), 4.63 (s,2H), 7.42 (d,1H), 8.00 (dd,1H), 8.63 (d,1H) and m/e 385 (APCI)$^+$
35	δ 1.04 (m,12H), 1.80 (m,8H), 3.23 (t,2H), 4.03 (m,4H), 4.42 (t,2H), 7.40 (d,1H), 7.43 (d,1H), 7.79 (m,2H), 8.28 (m,2H) and m/e 571 (APCI)$^+$
36	δ 0.98 (t,6H), 1.05 (t,6H), 1.70 (m,4H), 1.81 (m,4H), 4.01 (t,4H), 4.42 (t,4H), 7.40 (d,2H), 7.78 (dd,2H), 8.28 (d,2H) and m/e 555 (APCI)$^+$
37	δ 0.98 (t,6H), 1.07 (t,6H), 1.72 (m,4H), 1.85 (m,4H), 4.03 (t,4H), 4.40 (m,6H), 7.38 (d,2H), 7.64 (dd,2H), 8.21 (d,2H) and m/e 569 (APCI)$^+$
49[b]	δ 0.89 (t,3H); 1.60 (m,2H); 2.35 (s,3H); 3.86 (t,2H); 7.13 (t,1H); 7.51 (d,1H); 7.81 (d,1H), 10.67 (s,1H)
50[c]	δ 0.90 (t,3H); 1.66 (m,2H); 2.38 (s,3H); 3.93 (t,2H); 7.18 (d,1H); 7.49 (d,1H); 7.82 (s,1H)
54[b]	δ 0.90 (t,3H); 1.60 (m,2H); 3.85 (t,2H); 7.14 (d,1H); 7.82 (d,1H); 7.99 (s,1H); 11.58 (s,1H).
57[b]	δ 0.87 (s,3H); 1.50-1.69 (m,2H), 3.83 (t,2H); 6.99 (d,1H); 7.94 (dd,1H); 8.16 (d,1H) 11.02 (br s,NH)
75[b]	δ 0.85 (t,3H); 1.57 (m,2H); 3.81 (m,2H); 8.13 (d,1H); 8.39 (d,1H); 9.98 (s,1H)
80[b]	δ 5.08 (s,2H), 2-7.4 (m,6H), 7.73 (d,1H), 7.88 (d,1H), 11.64 (s,1H)
114	δ 0.89-0.94(m,6H); 1.03(t,3H); 1.3(m,2H); 1.62-1.98(m,6H); 1.8(m,1H); 4.1(m,2H); 7.36(d,1H); 7.95(dd,2H); 8.58(d,1H)
132	δ 0.99(m,6H); 1.07(t,6H); 1.73(m,4H); 1.85(m,4H); 4.07(t,4H); 4.43(t,4H); 6.70(d,1H); 7.46(m,4H); 7.91(m,2H)
133	δ 0.96(t,9H); 1.08(t,9H); 1.70(m,6H); 1.84(m,6H); 4.04(t,6H); 4.42(t,6H); 6.80(s,1H); 7.44(m,6H); 7.91(m,3H)

[a] ^1H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (dd)-doublet of doublets and (br s)-broad singlet.

[b] ^1H NMR solvent is Me_2SO-d_6.

[c] ^1H NMR solvent is acetone-d_6.

BIOLOGICAL EXAMPLES OF THE INVENTION

Test compounds were first dissolved in acetone in an amount equal to 3% of the final volume and then suspended at a concentration of 200 ppm in purified water containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in the following tests. Spraying these 200 ppm test suspensions to the point of run-off on the test plants is the equivalent of a rate of 500 g/ha.

TEST A

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis f. sp. tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20°C for 7 days, after which disease ratings were made.

TEST B

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

TEST C

The test suspension was sprayed to the point of run-off on rice seedlings. The following day the seedlings were inoculated with a spore suspension of *Pyricularia oryzae* (the causal agent of rice blast) and incubated in a saturated atmosphere at 27°C for 24 h, and then moved to a growth chamber at 30°C for 5 days, after which disease ratings were made.

TEST D

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of Phytophthora infestans (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

TEST E

The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

TEST F

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Botrytis cinerea* (the causal agent of gray mold on many crops) and incubated in a saturated atmosphere at 20°C for 48 h, and moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

Results for Tests A-F are given in Table A. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the controls). A dash (-) indicates no test results. ND indicates disease control not determined due to phytotoxicity.

TABLE A

Cmpd No.	Test A	Test B	Test C	Test D	Test E	Test F
1	100*	20	0	0	-	0
7	100*	0	0	0	-	0
8	53*	93	0	0	-	0
9	83*	0	0	0	-	0
10	0*	85	0	2	-	0
11	86*	0	0	2	-	0
15	63*	67	0	43	-	0
16	95*	0	0	20	-	0
17	95*	27	0	0	-	0
18	0*	0	0	0	-	0
19	95*	0	0	20	-	0
20	93*	28	0	0	-	0
23	84*	68	0	0	-	0
24	0*	86	0	0	-	0
25	100*	0	0	0	-	0
29	40*	68	0	23	-	0
32	98*	0	0	0	-	0
33	0**	26	0	19	-	0
34	0*	0	0	0	-	0
35	90*	0	0	23	-	0
36	71*	0	0	0	-	0
38	83*	0	0	69	-	0
44	93*	28	0	0	-	0
45	0	6	34	0	0	0
47	0	0	36	0	0	0
48	98	0	0	0	28	0

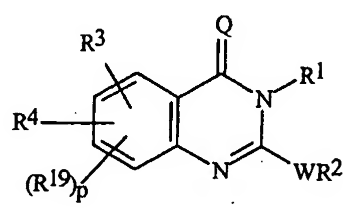
Cmpd No.	Test A	Test B	Test C	Test D	Test E	Test F
49	55	3	0	0	50	0
50	0	0	0	21	28	0
53	65*	23	0	0	34	0
54	97*	0	0	0	0	0
57	91	-	0	0	-	-
58	85***	0	0	0	-	0
59	59***	0	0	0	-	0
65	97	0	0	0	0	0
66	99*	58	0	0	99	0
67	99*	0	0	0	-	9
68	98*	0	0	0	-	0
75	99*	28	0	4	-	0
80	0	0	0	22	0	0
83	87	57	0	0	11	0
84	49	59	0	76	92	0
85	0	0	0	0	0	0
86	66	0	0	0	22	50
100	0*	24	0	0	22	71
106	100	0	0	0	-	0
107	96	0	0	0	-	0
108	99	26	0	22	-	0
109	87*	0	0	25	-	0
113	32	0	0	0	-	0
114	97	68	0	18	-	0
117	0	0	0	68	-	0
118	100	26	74	0	-	0
123	98	0	0	0	-	0
124	100*	0	0	68	-	0
125	100***	0**	0**	0**	-	0**
126	100	0	0	60	-	0
130	0	0	0	0	-	0
131	0*	66	0	46	-	0
132	60*	86	0	0	-	86

* Sprayed at 10 ppm.

CLAIMS

What is claimed is:

1. A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Formula I or an *N*-oxide or an agriculturally suitable salt thereof:



I

wherein

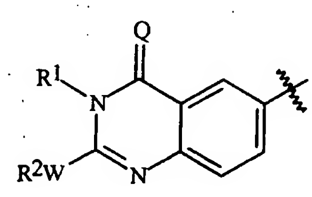
R^3 is Cl, Br, I, C_1-C_8 alkyl, C_3-C_8 cycloalkyl, C_2-C_8 alkenyl, C_2-C_8 alkynyl, C_1-C_8 haloalkyl, C_3-C_8 haloalkenyl, C_3-C_8 haloalkynyl, C_1-C_8 alkoxy, C_1-C_8 haloalkoxy, C_3-C_8 alkenyloxy, C_3-C_8 alkynyloxy, C_1-C_8 alkylthio, C_1-C_8 alkylsulfonyl, C_2-C_8 alkoxyalkyl, C_3-C_8 trialkylsilyl, NR^6R^7, C_5-C_8 trialkylsilylalkynyl, R^{14} or phenyl optionally substituted with at least one R^{13};

R^4 is hydrogen, Cl, Br, I, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy or C_1-C_4 haloalkoxy; or

when R^3 and R^4 are on adjacent atoms they can be taken together as $-OC(R^{16})_2O-$;

R^{14} is $B(OH)_2$; OH; SH; cyano; CF_3SO_3; C_1-C_4 haloalkylthio; C_1-C_4 haloalkylsulfinyl; C_1-C_4 haloalkylsulfonyl; thiocyanato; C_3-C_8 trialkylsilyloxy, $R^{15}OCHR^{16}O$; $(R^{15}O)_2CHO$; $R^{15}SS$; $R^{15}SCH(R^{16})S$; SF_5; $R^{17}C(=Y)$; $R^{18}C(=Y)X$; $R^{17}XC(=Y)$; $(R^{17})XC(=Y)X$; $O(Y=)P(OR^{18})_2$; isothiocyanato; pyridinyl, furanyl, thienyl, benzofuranyl, benzo[*b*]thiophenyl, aryloxy, arylthio or quinolinyl each optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; C_2-alkenyl or C_2-alkynyl each substituted with CN, CO_2R^6 or phenyl optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10};

each R^{15} is



;

each W is independently defined as -O-, $-S(O)_n-$, $-NR^5-$, $-CH_2O-$, $-CH_2S(O)_n-$, $-CH_2NR^5-$, -C(=O)-, -C(=Y)O-, -OC(=Y)-, -OC(=Y)O-, -NHC(=Y)NH-, -NHC(=Y)O-, -OC(=Y)NH-, -C(=Y)NH-, -NHC(=Y)- or a direct bond; the directionality of the W linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the quinazolinone heterocycle and the moiety on the right side is bonded to R^2;

each n is independently 0, 1 or 2;

each Q is independently defined as O or S;

each R^1 is independently defined as C_1-C_{10} alkyl; C_3-C_6 cycloalkyl; C_3-C_{10} alkenyl; C_3-C_{10} alkynyl; C_1-C_{10} haloalkyl; C_3-C_{10} haloalkenyl; C_3-C_{10} haloalkynyl; C_2-C_{10} alkoxyalkyl; C_2-C_{10} alkylthioalkyl; C_2-C_{10} alkylsulfonylalkyl; C_4-C_{10} cycloalkylalkyl; C_4-C_{10} alkenyloxyalkyl; C_4-C_{10} alkynyloxyalkyl; C_4-C_{10} alkenylthioalkyl; C_4-C_{10} alkynylthioalkyl; C_2-C_{10} haloalkoxyalkyl; C_4-C_{10} alkoxyalkenyl; C_4-C_{10} alkylthioalkenyl; C_4-C_{10} trialkylsilylalkyl; C_1-C_{10} alkoxy; R^{11}; $R^{17}C(=S)$; $R^{18}C(=S)X$; $R^{17}XC(=Y)$; $(R^{17})XC(=Y)X$; pyridinyl, furanyl, thienyl, benzofuranyl, benzo[*b*]thiophenyl or quinolinyl each optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; or C_1-C_{10} alkyl substituted with NR^6R^7, nitro, cyano, OH, SH, $OC(=O)R^{20}$, $C(=O)SR^6$ or phenyl optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10};

each X is independently O, NR^{17} or S;

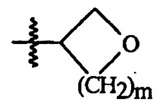
each Y is independently O or S;

each R^2 is independently defined as C_1-C_{10} alkyl; C_3-C_7 cycloalkyl; C_3-C_{10} alkenyl; C_3-C_{10} alkynyl; C_1-C_{10} haloalkyl; C_3-C_{10} haloalkenyl; C_3-C_{10} haloalkynyl; C_2-C_{10} alkoxyalkyl; C_2-C_{10} alkylthioalkyl; C_2-C_{10} alkylsulfonylalkyl; C_4-C_{10} cycloalkylalkyl; C_4-C_{10} alkenyloxyalkyl; C_4-C_{10} alkynyloxyalkyl; C_4-C_{10} alkenylthioalkyl; C_4-C_{10} alkynylthioalkyl; C_2-C_{10} haloalkoxyalkyl; C_4-C_{10} alkoxyalkenyl; C_4-C_{10} alkylthioalkenyl; C_4-C_{10} trialkylsilylalkyl; R^{11}; phenyl optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; or C_1-C_{10} alkyl substituted with one or more substituents selected from the group NR^6R^7, cyano, nitro, OH, SH, $OC(=O)R^{20}$, CO_2R^6, $O(Y=)P(OR^{18})_2$, $(O=)P(OR^{18})_2$ or phenyl optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; or

when a W is $-NR^5-$, then the R^2 attached to said W can additionally be selected from $-OR^7$; $-N=CR^6R^6$; $-NR^6R^7$; and pyridinyl, furanyl and thienyl each optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10}; or

when a W is -O-, then the R^2 attached to said W can additionally be selected from -N=CR^6R^6 and -NR^6R^7; or

when a W is -O-, -$S(O)_n$-, -NR^5- or -CH_2O-, then the R^2 attached to said W can additionally be



; or

when a W is a direct bond and R^1 is other than CF_3; then the R^2 attached to said W can additionally be selected from OH and halogen; or

when a W is a direct bond, then the R^2 attached to said W can additionally be selected from $O(Y=)P(OR^{18})_2$, $S(Y=)P(OR^{18})_2$, $O\text{-}S(O)R^{18}$, $O\text{-}S(O)_2R^{18}$, $O\text{-}S(O)_2OR^{18}$ and thiocyanato;

each R^5 is independently defined as hydrogen, C_1-C_4 alkyl or $C(=O)R^{12}$;

each R^6 is independently hydrogen; C_1-C_4 alkyl; or phenyl optionally substituted with at least one R^{13};

each R^7 is independently hydrogen; C_1-C_8 alkyl; or phenyl optionally substituted with at least one R^{13}; or

each pair of R^6 and R^7, when attached to the same nitrogen atom, can independently be taken together as -$CH_2CH_2CH_2CH_2$-, -$CH_2(CH_2)_3CH_2$-, -$CH_2CH_2OCH_2CH_2$-, -$CH_2CH(CH_3)CH_2CH(CH_3)CH_2$- or -$CH_2CH(CH_3)OCH(CH_3)CH_2$-;

each R^8 is independently C_1-C_6 alkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkyl; halogen; C_2-C_8 alkynyl; C_1-C_6 alkylthio; phenyl or phenoxy each optionally substituted with at least one R^{13}; cyano; nitro; C_1-C_6 haloalkoxy; C_1-C_6 haloalkylthio; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; acetyl; C(=O)SMe; or $N(C_1\text{-}C_2\text{ alkyl})_2$;

each R^9 is independently methyl, ethyl, methoxy, methylthio, halogen, $C(=O)S(C_1\text{-}C_3\text{ alkyl})$, $C(O)NR^6R^7$ or trifluoromethyl;

each R^{10} is independently halogen;

each R^{11} is independently C_1-C_{10} alkyl substituted with an 8-, 9- or 10-membered fused carbobicyclic or fused heterobicyclic ring; or R^{11} is C_1-C_{10} alkyl substituted with a 3-, 4-, 5- or 6-membered heteromonocyclic ring; wherein said heterobicyclic or heteromonocyclic rings contain 1 to 4 heteroatoms independently selected from the group nitrogen, oxygen and sulfur, provided that each heterobicyclic or heteromonocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs, wherein said heterobicyclic or heteromonocyclic ring is bonded to the alkyl group through a carbon atom of the ring, and wherein said carbobicyclic, heterobicyclic or heteromonocyclic ring is optionally substituted with R^8, optionally substituted with R^9 and optionally substituted with R^{10};

each R^{12} is independently defined as hydrogen, C_1-C_4 alkyl, C_1-C_4 alkoxy or NR^6R^7;

each R^{13} is independently halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkyl, nitro or cyano;

each R^{16} is independently hydrogen, halogen, C_1-C_4 alkyl or C_1-C_6 haloalkyl;

each R^{17} is independently hydrogen, C_1-C_4 alkyl or C_1-C_6 haloalkyl;

each R^{18} is C_1-C_6 alkyl, C_1-C_6 haloalkyl or phenyl optionally substituted with R^{13};

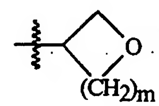
R^{19} is Cl, Br or I;

each R^{20} is independently C_1-C_4 alkyl or C_1-C_4 haloalkyl;

m is 1, 2 or 3; and

p is 0, 1 or 2;

provided that

when W is -O-, -$S(O)_n$- or -NR^5-; R^2 is other than  and C_1-C_{10} alkyl substituted with one or more substituents selected from the group cyano, nitro, OH, SH, $OC(=O)R^{20}$, $O(Y=)P(OR^{18})_2$ or $(O=)P(OR^{18})_2$; and R^1 is other than $R^{17}C(=S)$, $R^{18}C(=S)X$, $R^{17}XC(=Y)$, $(R^{17})XC(=Y)X$, and C_1-C_{10} alkyl substituted with OH, SH, $OC(=O)R^{20}$ or $C(=O)SR^6$; then R^3 is R^{14};

when R^1 is $R^{17}OC(=O)O$, $R^{17}OC(=O)S$ or $R^{17}OC(=O)NH$; then W is other than -CH_2O-, -$CH_2S(O)_n$-, -CH_2NR^5- and a direct bond; and

when WR^2 is $NHCF_3$, then R^1 is other than C_1-C_6 alkyl and C_3-C_6 cycloalkyl.

2. The method of Claim 1 wherein for said applied compound,

each W is -O-, -S- or -NR^5-;

each R^1 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11};

each R^2 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11}; and

R^3 is R^{14}.

3. The method of Claim 1 wherein for said applied compound,

W is a direct bond;

R^1 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11};

R^2 is OH or halogen;

R^3 is halogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl or R^{14}; and

R^{14} is OH, SH, cyano, CF_3SO_3, C_1-C_4 haloalkylthio, C_1-C_4 haloalkylsulfinyl or C_1-C_4 haloalkylsulfonyl.

4. The method of Claim 1 wherein for said applied compound,

each W is -CH_2O-, -$CH_2S(O)_n$- or -CH_2NR^5-;

each R^1 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11}; and
each R^2 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11}.

5. The method of Claim 1 wherein for said applied compound,
each W is a direct bond;
each R^1 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl or R^{11}; and
each R^2 is C_1-C_{10} alkyl, C_4-C_{10} cycloalkylalkyl, C_2-C_{10} alkylsulfonylalkyl, C_1-C_{10} alkyl substituted with NR^6R^7, cyano, nitro, OH, $OC(=O)R^{20}$, CO_2R^6, R^{11} or phenyl optionally substituted with R^8, R^9 or R^{10}.

6. The method of Claim 4 or Claim 5 wherein for said applied compound,
R^3 is halogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl or R^{14}; and
R^{14} is OH, SH, cyano, CF_3SO_3, C_1-C_4 haloalkylthio, C_1-C_4 haloalkylsulfinyl or C_1-C_4 haloalkylsulfonyl.

7. The method of Claim 1 wherein for said applied compound,
R^1, R^2 or both R^1 and R^2 are C_1-C_4 alkyl substituted with OH;
R^3 is halogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl or R^{14};
R^4 is hydrogen, Cl, Br or I; and
R^{14} is OH, SH, cyano, CF_3SO_3, C_1-C_4 haloalkylthio, C_1-C_4 haloalkylsulfinyl or C_1-C_4 haloalkylsulfonyl.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 97/22779

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 A01N43/54 A01N47/18 A01N47/12 A01N47/06 A01N43/80

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	FR 1 520 873 A (FISONS PEST CONTROL) 12 April 1968 see page 1 ---	1
X	CHEMICAL ABSTRACTS, vol. 89, no. 25, 18 December 1978 Columbus, Ohio, US; abstract no. 215338, P.C.JOSHI: "Synthesis of some new 5-mercapto 3-substituted quinazolone-s-triazoles; their reaction with dibromo alkanes" XP002061782 see abstract & J. INDIAN CHEM. SOC., vol. 55, no. 5, 1978, pages 465-467, ---	1,5,6

-/--

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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Date of the actual completion of the international search	Date of mailing of the international search report
8 April 1998	23/04/1998
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer Lamers, W

INTERNATIONAL SEARCH REPORT

Inter[...]onal Application No
PCT/US 97/22779

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
X	WO 94 26722 A (DU PONT ;BEREZNAK JAMES FRANCIS (US); CHANG ZEN YU (US); SELBY THO) 24 November 1994 cited in the application see page 1, line 10 - page 4, line 23 ---	1,2,7
X	US 3 755 582 A (BULLOCK G) 28 August 1973 cited in the application see column 1, line 29 - column 2, line 30 ---	1,2,7
X	US 3 867 384 A (BULLOCK GREG A ET AL) 18 February 1975 see column 1, line 34 - column 2, line 31 ---	1,2,7
P,X	WO 97 02262 A (DU PONT ;BEREZNAK JAMES FRANCIS (US); CHANG ZEN YU (US); STERNBERG) 23 January 1997 see page 1, line 18 - page 3, line 30 ---	1,2,7
A	WO 96 26191 A (DU PONT ;BROWN RICHARD JAMES (US); FRASIER DEBORAH ANN (US); HAPPE) 29 August 1996 see page 67; table D ---	1-7
A	DD 287 034 A (AKADEMIE DER WISSENSCHAFTEN) 14 February 1991 see the whole document ---	1-7
A	DD 278 788 A (AKADEMIE DER WISSENSCHAFTEN) 16 May 1990 see the whole document ---	1-7
A	EP 0 183 458 A (FBC LTD) 4 June 1986 see the whole document ---	1-7
A	US 4 605 657 A (EDWARDS LAROY H) 12 August 1986 see the whole document ---	1-7
A	US 5 354 755 A (TAKASUGI JAMES J ET AL) 11 October 1994 see the whole document ---	1-7
A	DE 32 28 871 A (BAYER AG) 9 February 1984 see the whole document -----	1-7

1

INTERNATIONAL SEARCH REPORT

Information on patent family members

Inter. ...nal Application No
PCT/US 97/22779

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
FR 1520873 A	21-08-68	BE 695552 A	15-09-67
		CH 483791 A	15-01-70
		ES 338365 A	16-06-68
		GB 1153481 A	29-05-69
		LU 53197 A	15-09-67
		NL 6703947 A	25-09-67
WO 9426722 A	24-11-94	AU 6944394 A	12-12-94
		BR 9406662 A	06-02-96
		CA 2162846 A	24-11-94
		CN 1123028 A	22-05-96
		CZ 9502803 A	13-03-96
		EP 0698013 A	28-02-96
		HU 72829 A	28-05-96
		JP 8510243 T	29-10-96
		LV 11464 B	20-02-97
		NZ 267222 A	28-10-96
		PL 311641 A	04-03-96
		SI 9420029 A	31-10-96
		SK 140295 A	04-09-96
		ZA 9403112 A	06-11-95
US 3755582 A	28-08-73	NONE	
US 3867384 A	18-02-75	NONE	
WO 9702262 A	23-01-97	AU 6478396 A	05-02-97
WO 9626191 A	29-08-96	AU 4869596 A	11-09-96
		EP 0810997 A	10-12-97
DD 287034 A		NONE	
DD 278788 A		NONE	
EP 0183458 A	04-06-86	AU 565511 B	17-09-87
		AU 5041385 A	28-05-87
		BR 8505900 A	12-08-86
		CA 1244425 A	08-11-88
		CN 85108922 A,B	02-07-86

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/US 97/22779

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0183458 A		CS 8508380 A	15-01-87
		DK 541485 A	25-05-86
		FI 854592 A,B	25-05-86
		IE 58349 B	08-09-93
		JP 1905875 C	24-02-95
		JP 6033257 B	02-05-94
		JP 61137880 A	25-06-86
		OA 8137 A	31-03-87
		SU 1402262 A	07-06-88
		US 4731106 A	15-03-88
		US 4824469 A	25-04-89
US 4605657 A	12-08-86	NONE	
US 5354755 A	11-10-94	US 5276038 A	04-01-94
		AT 139770 T	15-07-96
		AU 3991893 A	02-12-93
		CA 2097276 A	02-12-93
		CZ 9300904 A	16-02-94
		DE 69303329 D	01-08-96
		DE 69303329 T	23-01-97
		EP 0572782 A	08-12-93
		ES 2088607 T	16-08-96
		HU 65219 A	02-05-94
		JP 6056798 A	01-03-94
		MX 9303084 A	30-06-94
		SK 50293 A	06-04-94
		ZA 9303793 A	22-12-93
DE 3228871 A	09-02-84	EP 0101902 A	07-03-84
		JP 59044366 A	12-03-84
		US 4521420 A	04-06-85